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http://www.cas.org/ONLINE/UG/regprops.html

L2

E PROGESTIN/CN 5

L1 6 SEA ABB=ON PLU=ON (PROGESTIN OR ESTROGEN OR OESTROGEN OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTREL OR NORETHINDRO NE OR DESOGESTREL)/CN

12 SEA ABB=ON PLU=ON (DEXTROSE OR FRUCTOSE OR SORBITOL OR XYLITOL OR SUCROSE OR LACTOSE OR MANNITOL OR DEXTRATE OR CELLULOSE OR STARCH)/CN

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FILE COVERS 1907 - 11 Apr 2006 VOL 144 ISS 16 FILE LAST UPDATED: 10 Apr 2006 (20060410/ED)

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	OR OESTROGEN OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTR	E
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- L2

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- L4 862305 SEA FILE=CAPLUS ABB=ON PLU=ON L2 OR DEXTROSE OR FRUCTOSE
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 OR DEXTRATE OR CELLULOSE OR STARCH
- L5 4021 SEA FILE=CAPLUS ABB=ON PLU=ON L3 AND L4
- L6 307 SEA FILE=CAPLUS ABB=ON PLU=ON L5 AND (ORAL(3A)CONTRACEPT? OR HRT OR HORMON? REPLAC? THERAP?)
- L12 1 SEA FILE=CAPLUS ABB=ON PLU=ON L6 AND (("NON" OR "NOT")(3A) (CRYSTAL? OR CRYST##))
- L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 21 Jun 2002

ACCESSION NUMBER: 2002:465824 CAPLUS

DOCUMENT NUMBER: 137:37670

TITLE: Steroid hormone products containing excipients

with improved dissolution properties

INVENTOR(S): Schultz, Thomas; Clark, Bradley A.; Falzone,

Angela

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE			APPLICATION NO.						DATE		
	WO 2002047693 WO 2002047693				A2 20020620 A3 20021107		1	WO 2001-US48862					20011213			
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                                          CA 2001-2431521
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    AU 2002027421
                         Α5
                               20020624
                                          AU 2002-27421
                               20021121 US 2001-22138
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                         A1
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    EP 1361881
                         A2
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    EP 1591121
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                                           US 2000-255669P
                                                              P 20001214
PRIORITY APPLN. INFO.:
                                           EP 2001-996273
                                                              A3 20011213
                                           WO 2001-US48862
                                                              W 20011213
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AB The present invention relates to steroid hormone products, such as oral contraceptive products, including at least one steroid active ingredient mixed with an excipient and having improved dissoln. and release rate properties. The invention further relates to methods for making such steroid hormone products, wherein a mixture of the hormone and the excipient is subjected to sufficient mech. energy to form a powder blend wherein the hormone is stabilized by the excipient in substantially non-crystalline form. An amorphous lactose-norgestimate dry ground mixture was prepared

IT 63-42-3, Lactose

RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(steroid hormone products containing excipients with improved dissoln. properties)

IT 50-70-4, Sorbitol, biological studies

50-99-7, Dextrose, biological studies

57-48-7, Fructose, biological studies

57-50-1, Sucrose, biological studies 68-22-4

, Norethindrone 69-65-8, Mannitol

87-99-0, Xylitol 797-63-7,

Levonorgestrel 6533-00-2, Norgestrel

9004-34-6, Cellulose, biological studies

9005-25-8, Starch, biological studies

54024-22-5, Desogestrel 66828-18-0,

Dextrate

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(steroid hormone products containing excipients with improved dissoln. properties)

IT 35189-28-7, Norgestimate

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(steroid hormone products containing excipients with improved dissoln. properties)

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L13 1 S L12

L13 ANSWER 1 OF 1 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2002-490577 [52] WPIDS

DOC. NO. CPI: C2002-139339

TITLE: Steroid hormone product comprises a steroid hormone

in non crystalline form and a

stabilizing excipient, e.g. lactose, useful

as an oral contraceptive or

HRT product.

DERWENT CLASS: B01 B04

INVENTOR(S): CLARK, B A; FALZONE, A; SCHULTZ, T W; SCHULTZ, T

PATENT ASSIGNEE(S): (ORTH) ORTHO-MCNEIL PHARM INC; (JOHJ) JOHNSON &

JOHNSON; (CLAR-I) CLARK B A; (FALZ-I) FALZONE A;

(SCHU-I) SCHULTZ T

COUNTRY COUNT: 99

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG

WO 2002047693 A2 20020620 (200252)* EN 26

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW

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KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PH PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA

ZM ZW

AU 2002027421 A 20020624 (200267)

US 2002173669 A1 20021121 (200279)

NO 2003002708 A 20030704 (200353)

EP 1361881 A2 20031119 (200377) EN

R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL

PT RO SE SI TR KR 2003061856 A 20030722 (200381) BR 2001016793 A 20040126 (200412) SK 2003000880 A3 20040406 (200427) CZ 2003001896 A3 20040317 (200430) CN 1489468 A 20040414 (200442) HU 2004000646 A2 20040628 (200452) MX 2003005339 A1 20040401 (200478) ZA 2003005342 A 20041229 (200505) 33 IN 2003000779 P2 20041204 (200530) EN NZ 526517 A 20050930 (200566) EP 1361881 B1 20051026 (200571) EN R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR EP 1591121 A1 20051102 (200573) EN R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR DE 60114467 E 20051201 (200580)

APPLICATION DETAILS:

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AU 2002027421	A	AU 2002-27421	20011213
US 2002173669	Al Provisional	US 2000-255669P	20001214
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	_	EP 2005-76805	20011213
DE 60114467	E	DE 2001-00114467	
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		WO 2001-US48862	20011213

FILING DETAILS:

PATENT NO KIND PATENT NO

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                                             WO 2002047693
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EP 1361881 A2 Based on WO 2002047693
BR 2001016793 A Based on WO 2002047693
SK 2003000880 A3 Based on WO 2002047693
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HU 2004000646 A2 Based on WO 2002047693
MX 2003005339 A1 Based on WO 2002047693
NZ 526517 A Div in NZ 541421
Based on WO 2002047693
EP 1361881 B1 Based on WO 2002047693
EP 1591121 A1 Div ex EP 1361881

DF 60114467 F Based on FP 1361881
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PRIORITY APPLN. INFO: US 2000-255669P
                                                 20001214; US
                         2001-22138
                                              20011213
     2002-490577 [52]
                           WPIDS
     WO 200247693 A UPAB: 20020815
     NOVELTY - A steroid hormone product comprises a steroid hormone in
     non-crystalline form and a stabilizing excipient,
     having improved dissolution and release rate properties.
           DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for
     preparing the steroid hormone product comprising preparing a mixture
     of at least one steroid hormone and at least one excipient, imparting
     mechanical energy to yield an excipient/hormone powder blend in
     non-crystalline form and forming the product from
     the powder blend.
           ACTIVITY - Contraceptive.
           No details of tests showing activity are given.
           MECHANISM OF ACTION - None given.
           USE - As oral contraceptives or
     hormone replacement therapy (HRT
     ) products, (claimed).
           ADVANTAGE - The product has improved dissolution and release
     rate.
     Dwg.0/0
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                  OR OESTROGEN OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTRE
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AN

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L17	3 SEA FILE=CAPLUS ABB=ON PLU=ON L16 AND (ORAL (3A) CONTRACEPT								
	? OR HRT OR HORMON? REPLAC? THERAP?)								
L18	3 (L14 OR L17) NOT L12								
L18 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN ED Entered STN: 03 May 2002 ACCESSION NUMBER: 2002:332184 CAPLUS DOCUMENT NUMBER: 136:345766 TITLE: A novel crystalline form of arzoxifene INVENTOR(S): Luke, Wayne Douglas PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 52 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:									
	NO. KIND DATE APPLICATION NO. DATE								
WO 2002	034741 A2 20020502 WO 2001-US27773 20011018								
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AU 2002 EP 1328	014534 A5 20020506 AU 2002-14534 20011018								

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HR 2003-296

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HR 2003000296

US 2004014672

ZA 2003003061 A 20040719 ZA 2003-3061 20030417 PRIORITY APPLN. INFO.: US 2000-242252P P 20001020

WO 2001-US27773 W 20011018

The present invention is directed to a novel, non-solvated, AB anhydrous crystal form of 6-hydroxy-3-(4-[2-(piperidin-1yl)ethoxy]-phenoxy)-2-(4-methoxyphenyl)benzo[b]thiophene hydrochloride (arzoxifene-HCl), its formulations and therapeutic uses, including inhibition of disease states associated with estrogen deprivation such as cardiovascular disease, hyperlipidemia, and osteoporosis; and inhibition of other pathol. conditions such as endometriosis, uterine fibrosis, estrogen-dependent cancer (including breast and uterine cancer), prostate cancer, benign prostatic hyperplasia, CNS disorders including Alzheimer's disease, prevention of breast cancer, and up-regulating ChAT. For example, tablets contained arzoxifene-HCl 11.3 mg (10 mg base), L-cysteine HCl 0.10 mg, Povidone 12.50 mg, Polysorbate 80 1.25 mg, lactose 148.67 mg, Crosspovidone 12.50 mg, microcryst. cellulose 25.00 mg, and magnesium stearate 1.50 mg.

IT 68-22-4, Norethindrone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation, formulation and therapeutic uses of crystalline form of arzoxifene-HCl)

L18 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 Apr 2002

ACCESSION NUMBER: 2002:256028 CAPLUS

DOCUMENT NUMBER: 136:284448

TITLE: Ion-strength independent sustained release

pharmaceutical formulation

INVENTOR(S): Gorissen, Henricus R. M.; Frijlink, Henderik W.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,	TG													
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                                                            20030328
PRIORITY APPLN. INFO.:
                                       EP 2000-203381
                                                        A 20000929
                                                        A 20000929
                                       NL 2000-1016295
                                       WO 2001-EP11285
                                                         W 20010928
```

AB The present invention is related to an optionally coated pharmaceutical hydrophilic gel forming matrix formulation comprising one or more active substances and having a prolonged release of said one or more active substances upon exposure to gastrointestinal fluids, characterized in that said release is substantially ion-strength independent. The invention is further related to a method of preparing this formulation which can be used in the administration of active substances for the treatment of a large number of disorders. A composition contained flesinoxan-HCl 2.18, HPMC K4M 69.63, HPMC E5 7.50, HEC HX250PH 69.63, colloidal silica 0.30, Pigment blend PB23015 0.15, and Na stearyl fumarate 0.60 mg/tablet.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 04 May 2001

ACCESSION NUMBER: 2001:319681 CAPLUS

DOCUMENT NUMBER: 134:331629

TITLE: Oral transmucosal drug dosage using solid solution

INVENTOR(S): Zhang, Hao; Croft, Jed

PATENT ASSIGNEE(S): Anesta Corp., USA SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD?

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA?	CENT I	. O <i>l</i>			KIN	D	DATE		i	APPL	ICAT	ION 1	NO.		D	ATE	
WO 2001030288					A1	_	2001	0503	,	WO 2	000-1	US28	 113		2	00010	12
	W:	ΑE,	ΑG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	
		CN,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	
		UA,	ŪG,	UZ,	VN,	ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	MT
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		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
US	6264	981			В1		2001	0724	1	US 1	999-	4280	71		1:	99910	027
CA	2388	610			AA		2001	0503		CA 2	000-	2388	610		2	0001	012
EΡ	1242	013			A1		2002	0925		EP 2	000-	9720	83		2	0001	012
	R:	AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	
		PT,	ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL						
JP	2003	5124	02		T2		2003	0402		JP 2	001-	5327	09		2	0001	012

PRIORITY APPLN. INFO.: US 1999-428071 A 19991027

WO 2000-US28113 W 20001012

AB The present invention is directed toward formulation and method for oral transmucosal delivery of a pharmaceutical. The invention provides a drug formulation comprising a solid pharmaceutical agent in solid solution with a dissoln. agent. The formulation is administered into a patient's oral cavity, delivering the pharmaceutical agent by absorption through a patient's oral mucosal tissue. The formulation and method provide for improved oral mucosal delivery of the pharmaceutical agent. Oral transmucosal formulation containing piroxicam 2, mannitol 10, Emdex 86.7, sodium hydroxide 0.24, and magnesium stearate 1% was prepared Th Cmax and AUC of the drug was two fold of the wet granulation formulation and it was absorbed into the blood stream faster.

IT 9004-34-6, Cellulose, biological studies

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (microcryst.; oral transmucosal drug dosage using solid solution)

50-70-4, Sorbitol, biological studies IT

50-99-7, Dextrose, biological studies

57-48-7, Fructose, biological studies

57-50-1, Sucrose, biological studies 57-83-0

, Progestron, biological studies 63-42-3, Lactose

69-65-8, Mannitol 87-99-0, Xylitol

9005-25-8, Starch, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oral transmucosal drug dosage using solid solution)

REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

(FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 15:48:47 ON 11 APR 2006)

5 S L14 L19 3 S L17 L20

6 S (L19 OR L20) NOT L13 L21

L22 6 DUP REM L21 (0 DUPLICATES REMOVED)

L22 ANSWER 1 OF 6 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2005-273290 [28] WPIDS

CROSS REFERENCE:

2003-493118 [46]

DOC. NO. CPI:

C2005-085551

TITLE:

Nano-dispersion of water-soluble and stable

nano-sized particles useful in the treatment of e.g. fungal infections and cancer comprises hydrophilic inclusion complexes consisting of active compound

entrapped within amphiphilic polymer.

DERWENT CLASS:

A96 A97 B02 B03 B07 C02 C07

INVENTOR(S):

GOLDSHTEIN, R; GOLDSHTEIN, V; KAMBURG, R; KOPYLOV, M;

RATNER, G; SKYLARSKY, O; STERN, E; TULBOVICH, B; ZELKIND, I; SKLYARSKY, O; GITIS, L; MIKUNIS, V;

JAFFE, I

PATENT ASSIGNEE(S):

(SOLU-N) SOLUBEST LTD

COUNTRY COUNT:

108

PATENT INFORMATION:

PATENT NO KIND DATE WEEK ______

WO 2005030257 A2 20050407 (200528)* EN 52 RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NA NL OA PL PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW US 2005191359 A1 20050901 (200558) US 2005226934 A1 20051013 (200567) A1 20051013 (200567) US 2005227911 A1 20051020 (200569) US 2005233003 US 2005249786 A1 20051110 (200574)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005030257	A2	WO 2004-IL910	20040929
US 2005191359	Al CIP of	US 2001-966847	20010928
	CIP of	US 2002-256023	20020926
	Provisional	US 2003-507623P	20030930
		US 2004-952380	20040929
US 2005226934	Al CIP of	US 2001-966847	20010928
	CIP of	US 2002-256023	20020926
	Provisional	US 2003-507623P	20030930
	CIP of	US 2004-952380	20040929
		US 2005-100621	20050407
US 2005227911	Al CIP of	US 2001-966847	20010928
	CIP of	US 2002-256023	20020926
	Provisional	US 2003-507623P	20030930
	CIP of	US 2004-952380	20040929
		US 2005-100622	20050407
US 2005233003	Al CIP of	US 2001-966847	20010928
	CIP of	US 2002-256023	20020926
	Provisional	US 2003-507623P	20030930
	CIP of	US 2004-952380	20040929
		US 2005-100623	20050407
US 2005249786	Al CIP of	US 2001-966847	20010928
	CIP of	US 2002-256023	20020926
	Provisional	US 2003-507623P	20030930
	CIP of	US 2004-952380	20040929
		US 2005-100609	20050407

FILING DETAILS:

PATENT NO	KIND	PATENT NO			
US 2005191359	Al CIP of	us 6878693			
US 2005226934	Al CIP of	US 6878693			
US 2005227911	Al CIP of	US 6878693			
US 2005233003	Al CIP of	US 6878693			
US 2005249786	Al CIP of	US 6878693			
RITY APPLN. INFO	: US 2003-507623P	20030930; US			

2001-966847 20010928; US 2002-256023 20020926; US 2004-952380 20040929; US

2005-100621	20050407;	US
2005-100622	20050407;	US
2005-100623	20050407;	US
2005-100609	20050407	

AN 2005-273290 [28] WPIDS

CR 2003-493118 [46]

AB W02005030257 A UPAB: 20051117

NOVELTY - A nano-dispersion of water-soluble and stable nano-sized particles comprises hydrophilic inclusion complexes consisting of an active compound surrounded by, and entrapped within, an amphiphilic polymer. The active compound is in a non-crystalline state and the inclusion complex is stabilized by non-valent interactions between the active compound and the surrounding amphiphilic polymer.

DETAILED DESCRIPTION - A nano-dispersion of water-soluble and stable nano-sized particles comprises hydrophilic inclusion complexes consisting of an active compound surrounded by, and entrapped within, an amphiphilic polymer.

The active compound is in a non-crystalline state and the inclusion complex is stabilized by non-valent interactions between the active compound and the surrounding amphiphilic polymer.

The inclusion complex is from:

- (i) an inclusion complex where either the active compound is clarithromycin and the amphiphilic polymer is alginate or chitosan or the active compound is azithromycin and the amphiphilic polymer is a polysaccharide or polyvinyl alcohol;
- (ii) an inclusion complex where the active compound is donepezil hydrochloride and the amphiphilic polymer is a polysaccharide;
- (iii) an inclusion complex where the active compound is an azole compound and the amphiphilic polymer is a polysaccharide, polyacrylic acid, a copolymer of polyacrylic acid, polymethacrylic acid or a copolymer of polymethacrylic acid; or
- (iv) an inclusion complex where the active compound is a taxane and the amphiphilic polymer is gelatin.

An INDEPENDENT CLAIM is also included for the preparation of a nano-dispersion, which involves:

- (a) preparing a molecular solution of the amphiphilic polymer in water;
- (b) preparing a molecular solution of the active compound in an organic solvent;
- (c) dripping the cold solution of the active compound into the heated polymer solution at $5-10\,\deg$. C above the boiling point of the organic solvent under constant mixing; and
- (d) removing the organic solvent thus obtaining the nano-dispersion comprising the nano-particles consisting of inclusion complexes where the active compound is wrapped within the amphiphilic polymer via non-valent interactions.

ACTIVITY - Antibacterial; Respiratory-Gen.; Fungicide; Cytostatic; Nootropic; Neuroprotective.

MECHANISM OF ACTION - None given.

USE - The dispersion is used for the treatment of bacterial infections, dementia, Alzheimer's disease, fungal infections, estrogen-responsive breast tumors and cancer (claimed). It is also useful for treating respiratory infections and in the production of food additives and cosmetics. The dispersion may also be used in agriculture, as well as in pet foods and veterinary products.

The oral absorption of itraconazole nano-sized, water-soluble particles comprising itraconazole inclusion complexes (C-1) with a

copolymer of acrylic acid and butyl acrylate was studied in a preclinical model involving rats and compared with oral absorption of itraconazole in a composition (M-1) comprising itraconazole mixed by vortex with polyacrylic acid, which do not form nano-particles.

Itraconazole (50 mg/kg) was administered to male Sprague-Dawley rats (groups of 5), 250-280 g, by a feeding tube. At fixed times of administration (between 1-24 hours), blood samples were collected, and sera were prepared for analysis.

Administration of the nano-sized, water-soluble particles (C-1) gave elevated maximal blood concentrations (Cmax) of 0.46 and 0.72 for both itraconazole and its active hydroxylated metabolite (hydroxyitraconazole). The total amount of itraconazole absorbed was indicated by the area under curve (AUC) of 6.9 and 13.3 for itraconazole and hydroxyitraconazole.

Administration of the mechanical mixture (M-1) gave Cmax of 0.22 and 0.38 for itraconazole and hydroxyitraconazole. The total amount of itraconazole absorbed (AUC) was 5.8 and 9.5 for itraconazole and hydroxyitraconazole.

ADVANTAGE - The nano-particles remain stable for long periods of time, is water-soluble, can be manufactured at low cost and improves overall bioavailability of the active compound.

Dwg.0/9

L22 ANSWER 2 OF 6 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: DOC. NO. CPI: 2004-011717 [01] C2004-003388

TITLE:

Formulation useful for e.g. lowering blood pressure and treating heart failure comprises a pharmaceutical

and a drug delivery system.

DERWENT CLASS:

A96 B05 B07

INVENTOR(S):

JAIN, N B; JERZEWSKI, R L; KRISHNA, R; MALHOTRA, B K;

PATEL, J M; SLUGG, P H; SMITH, R L; PATEL, J

WPIDS

PATENT ASSIGNEE(S):

(JAIN-I) JAIN N B; (JERZ-I) JERZEWSKI R L; (KRIS-I) KRISHNA R; (MALH-I) MALHOTRA B K; (PATE-I) PATEL J M;

(SLUG-I) SLUGG P H; (SMIT-I) SMITH R L; (BRIM)

BRISTOL-MYERS SQUIBB CO 103

COUNTRY COUNT:

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG

WO 2003090723 A1 20031106 (200401)* EN 103

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US

UZ VC VN YU ZA ZM ZW

US 2004005358 A1 20040108 (200404) AU 2003225102 A1 20031110 (200442)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003090723 US 2004005358	A1 Al Provisional	WO 2003-US12316 US 2002-374940P US 2003-419397	20030421 20020423 20030421

AU 2003225102 Α1 AU 2003-225102

20030421

FILING DETAILS:

KIND PATENT NO PATENT NO ______

AU 2003225102 Al Based on WO 2003090723

PRIORITY APPLN. INFO: US 2002-374940P 20020423; US

2003-419397 20030421

2004-011717 [01] WPIDS AN WO2003090723 A UPAB: 20040102 AB

> NOVELTY - A modified-release (MR) formulation comprises a pharmaceutical and a drug delivery system (DDS). The pharmaceutical provides both neutral endopeptidase (NEP) and angiotensin converting enzyme (ACE) inhibiting activity. The (DDS) releases the pharmaceutical at the desired site of absorption over a desired dosing interval.

> ACTIVITY - Cardiant; Nephrotropic; CNS-Gen.; Cardiovascular-Gen.; Antidiabetic; Antiarteriosclerotic; Hypotensive.

MECHANISM OF ACTION - Modified-release vasopeptidase inhibitor; Angiotensin converting enzyme (ACE) inhibitor; Neutral endopeptidase (NEP) inhibitor.

USE - For lowering of blood pressure and/or treating renal diseases in a human or animal (claimed), cardiovascular diseases, coronary artery disease, cerebrovascular disease, diabetic nephropathy, heart failure and atherosclerosis.

ADVANTAGE - The formulation provides an overall substantially improved and more balanced drug release over the first few hours of release, provides reduction in overall exposure of the vasopeptidase inhibitor to systemic circulation, improvement in the NEP inhibition profile (ACE inhibitory activity is substantially unaffected), improvement in the trough/peak ratio for blood pressure lowering, reduction in dosing frequency and/or titrations, improvement in patient compliance and improvement in tolerability as compared to a comparable immediate or rapid release drug delivery system. The formulation has Cmax of 20 - 80% and improved trough/peak ratio as compared to immediate-release formulation. The vasopeptidase inhibitor is continuously introduced into the environment of use over a period of 4 - 24 hours. Dwg.0/7

L22 ANSWER 3 OF 6 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2002-405019 [43] WPIDS

C2002-113755 DOC. NO. CPI:

Hydrophilic gel forming matrix formulation for TITLE: administering active agents to treat e.g. central nervous system and cardiovascular disorders,

comprises prolonged release of active substance on

exposure to gastrointestinal fluids.

DERWENT CLASS: A96 B05 B07

FRIJLINK, H W; GORISSEN, H R M; VAN HOUTENLAAN, C J INVENTOR(S):

PATENT ASSIGNEE(S): (SOLV) SOLVAY PHARM BV; (FRIJ-I) FRIJLINK H W;

(GORI-I) GORISSEN H R M

COUNTRY COUNT: 98

PATENT INFORMATION:

PATENT NO KIND DATE WEEK ______

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A1 20020404 (200243)* EN
WO 2002026214
                                          19
   RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW
      MZ NL OA PT SD SE SL SZ TR TZ UG ZW
    W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE
       DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG
       KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PH
       PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU
       ZA ZW
AU 2002023572
               A 20020408 (200252)
NO 2003001409 A 20030327 (200343)
CZ 2003000898 A3 20030618 (200347)
               A 20030509 (200358)
KR 2003036861
               A1 20030924 (200363)
EP 1345595
    R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL
       PT RO SE SI TR
BR 2001014272 A 20030826 (200368)
SK 2003000355 A3 20031007 (200369)
HU 2003001177 A2 20031028 (200379)
US 2004013727 A1 20040122 (200407)
MX 2003002769 A1 20030701 (200420)
CN 1466451 A 20040107 (200423)
JP 2004509915 W 20040402 (200424)
                                          33
ZA 2003001866 A 20040428 (200432)
                                          26
NZ 524641 A 20040924 (200465)
AU 2002223572 B2 20050908 (200568)
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APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002026214	A1	WO 2001-EP11285	20010928
AU 2002023572	Α	AU 2002-23572	20010928
NO 2003001409	Α	WO 2001-EP11285	20010928
		NO 2003-1409	20030327
CZ 2003000898	A3	WO 2001-EP11285	20010928
		CZ 2003-898	20010928
KR 2003036861	A	KR 2003-704552	20030328
EP 1345595	A1	EP 2001-985673	20010928
		WO 2001-EP11285	20010928
BR 2001014272	A	BR 2001-14272	20010928
		WO 2001-EP11285	20010928
SK 2003000355	A3	WO 2001-EP11285	20010928
		SK 2003-355	20010928
HU 2003001177	A2	WO 2001-EP11285	20010928
		HU 2003-1177	20010928
US 2004013727	A1	WO 2001-EP11285	20010928
		US 2003-381714	20030328
MX 2003002769	A1	WO 2001-EP11285	20010928
		MX 2003-2769	20030328
CN 1466451	Α	CN 2001-816515	
JP 2004509915	W	WO 2001-EP11285	20010928
		JP 2002-530044	20010928
ZA 2003001866	А	ZA 2003-1866	20030306
NZ 524641	А	NZ 2001-524641	
		WO 2001-EP11285	
AU 2002223572	B2	AU 2002-223572	20010928

FILING DETAILS:

PATENT NO	KIND	PATENT NO			
AU 2002023572	A Based on	WO 2002026214			
CZ 2003000898	A3 Based on	WO 2002026214			
EP 1345595	A1 Based on	WO 2002026214			
BR 2001014272	A Based on	WO 2002026214			
SK 2003000355	A3 Based on	WO 2002026214			
HU 2003001177	A2 Based on	WO 2002026214			
MX 2003002769	Al Based on	WO 2002026214			
JP 2004509915	W Based on	WO 2002026214			
NZ 524641	A Based on	WO 2002026214			
AU 2002223572	B2 Previous Publ.	AU 2002223572			
	Based on	WO 2002026214			

PRIORITY APPLN. INFO: NL 2000-1016295 20000929; EP

2000-203381 20000929

AN 2002-405019 [43] WPIDS AB WO 200226214 A UPAB: 20020709

NOVELTY - A hydrophilic gel forming matrix formulation comprising one or more active substances, having prolonged release of the active substance(s) on exposure to gastrointestinal fluids, wherein the release is substantially ion-strength independent, is new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for preparing the new formulation comprising:

- (1) compressing a core of a mixture having one or more active substances and a mixture of two hydrophlic high or medium viscosity cellulose ethers, yielding a ion-strength independent and prolonged zero-order release of active substances; and
 - (2) optionally coating the core.

ACTIVITY - Nootropic; neuroprotective; neuroleptic; tranquilizer; antidepressant; anabolic; cerebroprotective; hypnotic; anticonvulsant; analgesic; antimigraine; cardiant; antianginal; hypertensive; hypotensive; thrombolytic; anticoagulant; antiarteriosclerotic; hemostatic; vasotropic; nephrotropic; antilipemic; anorectic; antiinflammatory; gastrointestinal; antidiabetic; antiulcer; antidiarrheic; osteopathic; antibacterial; antifungal; antiprotozoal; antiviral; anti-HIV; immunostimulant; immunosuppressive; cytostatic; diuretic; antiasthmatic. No suitable biological data is given.

MECHANISM OF ACTION - Non given.

USE - The formulation is used for the sustained release administration of a wide range of active substances where the sustained release behaviour is independent of the ion-strength of the dissolution medium e.g. gastrointestinal fluid. The sustained release is achieved over a period of time of up to 16 hours. The formulations can be used to treat central nervous system (CNS) disorders including schizophrenia, episodic paroxysmal anxiety, disorders such as obsessive compulsive disorder, post traumatic stress disorder, phobia and panic, major depressive disorders, bipolar disorder, Parkinson's disease, general anxiety disorder, autism, delirium, multiple sclerosis, Alzheimer's disease/dementia and other neurodegenerative disorders, severe mental retardation and dyskinesias such as Huntington's disease and Gilles dela Tourett's syndrome, anorexia, bulimia, stroke, addiction/dependency/craving, sleep disorder, epilepsy, migraine, attention deficit/hyperactivity disorder, cardiovascular diseases including heart failure, angina pectoris, arrhythmias, myocardial infarction, cardiac hypertrophy, hypotension, hypertension e.g. essential hypertension, renal hypertension or pulmonary hypertension, thrombosis, arteriosclerosis, cerebral vasospasm, subarachnoid hemorrhage, cerebral ischemia,

cerebral infarction, peripheral vascular disease, Raynaud's disease, kidney disease e.g. renal failure, dyslipidemias, obesity, emesis, gastrointestinal disorders including irritable bowel syndrome, inflammatory bowel disease, gastroesophegal reflux disease, motility disorders and conditions of delayed gastric emptying, such as postoperative or diabetic gastroparesis, diabetes, ulcers e.g. gastric ulcer, diarrhoea, gynaecological disorders, osteoporosis, inflammations, infections e.g. bacterial, fungal, protozoal or viral infections especially HIV-1 and HIV-2 infections, pain, cancers, chemotherapy induced injury, tumor invasion, immune disorders, urinary retention, asthma, allergies, arthritis, benign prostatic hypertrophy, endotoxin shock, sepsis and complications of diabetes mellitus (claimed).

L22 ANSWER 4 OF 6 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2000-096826 [08] WPIDS

DOC. NO. CPI: C2000-028052

TITLE: Biologically active composition useful for preparing

medicament, cosmetics and skin care products.

DERWENT CLASS: A96 B05 D21

INVENTOR(S): BENEDIKTSSON, C; BRYLAND, R; HAGSLAETT, H; LINDAHL,

MEEV

A; HAGSLAETT, H K; HEIMAN, C; LINDAHL, K; HAGSLATT, H

דא פר

PATENT ASSIGNEE(S): (BIOG-N) BIOGLAN AB; (JAGO-N) JAGOTEC AG

שתאם האתבי

COUNTRY COUNT: 8'

PATENT INFORMATION:

DAMENIA NO

PAT	CENT	ИО			KI	ND I	DATE	S	V	VEE	<		LA	I	PG.							
WO	995	8109	 9		A1	199	9911	118	(20	0000)8) ³	EN	J	36								
	RW:	ΑT	ΒE	СН	CY	DE	DK	EA	ES	FI	FR	GB	GH	GM	GR	ΙE	IT	KE	LS	LU	MC	MW
		NL	OA	PT	SD	SE	SL	SΖ	UG	ZW												
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		LT	LU	LV	MD	MG	MK	MN	MW	ΜX	NO	ΝZ	PL	PT	RO	RU	SD	SE	SG	SI	SK	SL
		ТJ	TM	TR	TT	UA	UG	US	UZ	VN	YU	ZA	zw									
ΑU	994	405:	L		Α	199	911	129	(20	0001	L8)											
EP	107	767	7		Α1	200	102	228	(20	011	L3)	EN	1									
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ИО	2000	0005	5725	5	Α	200	0101	111	(20	011	L5)											
CZ	2000	0004	1128	3	A3	200	105	516	(20	013	32)											
ES	215	5049	9		T1	200	105	501	(20	013	36)											
ZA	2000	0006	5476	5	Α	200	108	329	(20	015	57)			41								
KR	200	1052	2349	9	Α	200	106	525	(20	017	73)											
CN	1309	9554	1		Α	200	108	322	(20	017	75)											
ΑU	7429	921			В	200	201	L17	(20	021	.9)											
HU	200	1002	2002	2	A2	200	203	328	(20	023	34)											
	2002		1588	3	W	200	205	521	(20					30								
ΝZ	5080	074			Α		301			031												
	2000			7					(20		_,											
EΡ	107					200			(20			EN										
	R:	ΑT	ΒĒ	CH	CY	DE	DK	ES	FI	FR	GB	GR	ΙE	ΙT	LI	LU	MC	NL	PT	SE		
	699:		_		E				(20	040	03)											
	215					200			. —													
IN	200	0000	0632	2	P4	200	0503	304	(20	0054	17)	ΕN	1									

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE

WO	9958109	A1	WO	1999-SE824	19990512
AU	9944051	A	ΑU	1999-44051	19990512
EP	1077677	A1	ΕP	1999-927062	19990512
			WO	1999-SE824	19990512
NO	2000005725	A	WO	1999-SE824	19990512
			NO	2000-5725	20001113
CZ	2000004128	A3	WO	1999-SE824	19990512
			CZ	2000-4128	19990512
ES	2155049	T1	ΕP	1999-927062	19990512
ZA	2000006476	A	ZA	2000-6476	20001109
KR	2001052349	A	KR	2000-712709	20001113
CN	1309554	A	CN	1999-808549	19990512
AU	742921	В		1999-44051	19990512
HU	2001002002	A2	WO	1999-SE824	19990512
					19990512
JP	2002514588	W		1999-SE824	19990512
				2000-547961	19990512
ΝZ	508074	A		1999-508074	19990512
					19990512
MX	2000011077	A1		1999-SE824	19990512
				2000-11077	20001110
ΕP	1077677	B1		1999-927062	19990512
			WO	1999-SE824	19990512
DE	69912271	E		1999-612271	19990512
				1999-927062	19990512
		_			19990512
	2155049	Т3	ΕP	1999-927062	19990512
IN	2000000632	P4		2000-CN632	20001109
			WO	1999-SE824	

FILING DETAILS:

PATENT NO	KIND	PATENT NO		
AU 9944051	A Based on	WO 9958109		
EP 1077677	Al Based on	WO 9958109		
CZ 2000004128	A3 Based on	WO 9958109		
ES 2155049	T1 Based on	EP 1077677		
AU 742921	B Previous Publ.	AU 9944051		
	Based on	WO 9958109		
HU 2001002002	A2 Based on	WO 9958109		
JP 2002514588	W Based on	WO 9958109		
NZ 508074	A Based on	WO 9958109		
MX 2000011077	Al Based on	WO 9958109		
EP 1077677	B1 Based on	WO 9958109		
DE 69912271	E Based on	EP 1077677		
	Based on	WO 9958109		
ES 2155049	T3 Based on	EP 1077677		

PRIORITY APPLN. INFO: SE 1998-1705 19980514

AN 2000-096826 [08] WPIDS

AB WO 9958109 A UPAB: 20000215

NOVELTY - A biologically active composition comprising a biologically active agent dissolved and/or dispersed in a supersaturated state within a liquid and/or solid non-crystalline carrier matrix.

DETAILED DESCRIPTION - A biologically active composition comprising a biologically active agent dissolved and/or dispersed in a

supersaturated state within a liquid and/or solid non-crystalline carrier matrix. Supersaturation of the active agent is attained by, subjecting the precursor of carrier or a mixture of two or more different carrier precursors to a chemical reaction, and forming a liquid and/or solid non-crystalline carrier matrix. The degree of saturation of active agent is higher in the matrix than the carrier precursor. The active agent is added before the completion of the chemical reaction to attain supersaturated state. An INDEPENDENT CLAIM is also included for the preparation of active composition.

USE - Active composition is useful for preparing medicament for mammals, (preferably man) (claimed) and for preparing cosmetic skin products.

ADVANTAGE - Active composition does not have any significant precipitation or loss of biological effect during long-term storage at room temperature, even for months or years. Active composition has a high degree of supersaturation and is highly stable and can be handled easily.

Dwg.0/1

L22 ANSWER 5 OF 6 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2000-105532 [09] WPIDS

DOC. NO. CPI: C2000-031590

TITLE: Composition for use as medicament comprises active

agent e.g. peptides, proteins or antibiotics released in supersaturated state and carrier e.g. polyester.

III Supersacuraced state and carrier e.g. p

DERWENT CLASS: A14 A23 A96 B07

INVENTOR(S): BENEDIKTSSON, C; BRYLAND, R; HAGSLAETT, H; LINDAHL,

A; HAGSLAETT, H K; HEIMAN, C; LINDAHL, K; BRYLAND, R

V; HAGSLATT, H

PATENT ASSIGNEE(S): (BIOG-N) BIOGLAN AB; (JAGO-N) JAGOTEC AG

COUNTRY COUNT: 8

PATENT INFORMATION:

PA'	rent	ИО					DATI		7	VEE	ζ.		LA	I	?G							
WO	995	8108	- -				991:		(2)	0000	9) 7	E)	1	26	•							
	RW:	AT	ΒE	СН	CY	DE	DK	EΑ	ES	FI	FR	GB	GH	GM	GR	ΙE	IT	KE	LS	LU	MC	MW
							SL															
	W:	ΑE	AL	AM	AT	AU	ΑZ	BA	вв	BG	BR	BY	CA	СН	CN	CU	CZ	DE	DK	EE	ES	FI
		GB	GD	GΕ	GH	GM	HR	HU	ID	IL	IN	IS	JΡ	KE	KG	ΚP	KR	ΚZ	LC	LK	LR	LS
		LT	T ₁ U	T ₁ V	MD	MG	MK											SE				
							UG															
ΑU	994																					
	200								•		•											
	108								•		-		I									
		ΑT							•					ΙT	LI	LU	MC	NL	PT	SE		
CZ	200																					
	215								•													
	736																					
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JP	200	2514	4587	7	W	20	020	521	(20	0023	36)			26								
NZ	508	073			Α	20	0212	220	(20	0030	09)											
US	653	7576	5		В1	20	0300	325	(20	0032	25)											
MX	200	001	1082	2	A1	20	020	301	(2	0036	52)											
ΜX							040															

IN 2000000633 P4 20050304 (200547) EN EP 1082102 B1 20051123 (200577) EN

R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

DE 69928524 E 20051229 (200603)

APPLICATION DETAILS:

PA'	TENT NO	KIND	APPLICATION	DATE
WO	9958108	A1	WO 1999-SE823	19990512
ΑU	9944050	Α	AU 1999-44050	19990512
МО	2000005724	A	WO 1999-SE823	19990512
			NO 2000-5724	20001113
ΕP	1082102	A1	EP 1999-927061	19990512
			WO 1999-SE823	19990512
CZ	2000004127	A3	WO 1999-SE823	19990512
			CZ 2000-4127	19990512
ES	2155048	T1	EP 1999-927061	19990512
ΑU	736480	В	AU 1999-44050	19990512
KR	2001052348	A	KR 2000-712708	20001113
CN	1309553	A	CN 1999-808547	19990512
ZA	2000006474	A	ZA 2000-6474	20001109
HU	2001002426	A2	WO 1999-SE823	19990512
			HU 2001-2426	19990512
JΡ	2002514587	W	WO 1999-SE823	19990512
			JP 2000-547960	19990512
ΝZ	508073	A	NZ 1999-508073	19990512
			WO 1999-SE823	19990512
US	6537576	B1	WO 1999-SE823	19990512
			US 2001-700176	20010129
MΧ	2000011082	A1	WO 1999-SE823	19990512
			MX 2000-11082	20001110
MΧ	221709	В	WO 1999-SE823	19990512
			MX 2000-11082	20001110
IN	2000000633	P4	IN 2000-CN633	20001109
			WO 1999-SE823	
EΡ	1082102	B1	EP 1999-927061	19990512
			WO 1999-SE823	19990512
DE	69928524	E	DE 1999-628524	19990512
			EP 1999-927061	19990512
			WO 1999-SE823	19990512

FILING DETAILS:

PATENT NO	KIND	PATENT NO		
AU 9944050 EP 1082102 CZ 2000004127 ES 2155048	A Based on Al Based on A3 Based on T1 Based on	WO 9958108 WO 9958108 WO 9958108 EP 1082102		
AU 736480	B Previous Publ. Based on	AU 9944050 WO 9958108		
HU 2001002426 JP 2002514587	A2 Based on W Based on	WO 9958108 WO 9958108		
NZ 508073 US 6537576	A Based on B1 Based on	WO 9958108 WO 9958108		
MX 2000011082	Al Based on	WO 9958108		
MX 221709 EP 1082102	B Based on Bl Based on	WO 9958108 WO 9958108		

DE 69928524 E Based on EP 1082102 Based on WO 9958108

PRIORITY APPLN. INFO: SE 1998-1704 19980514

AN 2000-105532 [09] WPIDS

AB WO 9958108 A UPAB: 20000218

NOVELTY - Composition (I) comprises biologically active agent (II) to be released, in a supersaturated state. (II) is dissolved and/or dispersed in a liquid and/or solid non-crystalline

ester (IIIa) and/or polyester (IIIb) matrix carrier (III).

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for the method of preparing (I).

 \mbox{USE} - (I) is used as a medicament for topical, preferably dermal application.

ADVANTAGE - (I) is a supersatured composition which does not display significant precipitation or loss effect during storage at different temperature for months and its effect is not lost during its application as medicament. (I) is a stable composition which can be easily handled and it has a high delivery rate of (II). Dwg.0/0

L22 ANSWER 6 OF 6 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1995-106656 [14] WPIDS

CROSS REFERENCE: 1989-106432 [14]; 1990-225695 [30]; 1990-225696

[30]; 1991-230072 [31]; 1991-310376 [42];

1992-331446 [40]; 1992-398670 [48]; 1993-036110

[04]; 1994-109332 [13]; 1995-044946 [07];

1995-082654 [12]; 1995-263621 [34]; 1996-160117

[16]; 1997-051830 [05]; 1997-558092 [51]

DOC. NO. CPI: C1995-048559

DOC. NO. CPI: C1993-04055

TITLE: Pharmaceutical compsn. for admin. of delta-amino levulinic acid - is stable due to presence of an organic proton donor, providing increased shelf life

to treat visible lesions and rapidly expanding

growths of skin.

DERWENT CLASS: A96 B05 B07

INVENTOR(S): GOLUB, A L; MANTELLE, J A

PATENT ASSIGNEE(S): (NOVE-N) NOVEN PHARM INC; (NOVE-N) NOVER PHARM INC

COUNTRY COUNT: 56

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG

WO 9505813 A1 19950302 (199514)* EN 21

RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE W: AM AT AU BB BG BR BY CA CH CN CZ DE DK ES FI GB GE HU JP KE KG KP KR KZ LK LT LU LV MD MG MN MW NL NO NZ PL PT RO RU SD SE SI

SK TJ TT UA US UZ VN.

AU 9476722 A 19950321 (199526) US 5446070 A 19950829 (199540) 24

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9505813	A1	WO 1994-US9466	19940826
AU 9476722	A	AU 1994-76722	19940826
US 5446070	A CIP of	US 1991-661827	19910227
	CIP of	US 1991-813196	19911223

CIP of WO 1992-US1730 19920227 US 1993-112330 19930827

FILING DETAILS:

	PATENT NO	KIND	PATENT NO	
	AU 9476722	A Based on	WO 9505813	
	US 5446070	A CIP of	us 5234957	
PRIC	ORITY APPLN. INFO	: US 1993-112330	19930827; US	
		1991-661827	19910227; US	
		1991-813196	19911223; WO	
		1992-US1730	19920227	
AN	1995-106656 [14] WPIDS		
CR	1989-106432 [14]; 1990-225695	[30]; 1990-225696 [30];	1991-2
	[31]: 1991-310	376 [42]: 1992-	331446 [40]: 1992-39867	1481:

CR 30072 1992-331446 [40]; 1992-3986/0 1993-036110 [04]; 1994-109332 [13]; 1995-044946 [07]; 1995-082654 [12]; 1995-263621 [34]; 1996-160117 [16]; 1997-051830 [05]; 1997-558092 [51]

9505813 A UPAB: 19990107 AB WO

> A pharmaceutical compsn. comprising a therapeutically effective amount of delta-aminolevulinic acid (ALA) together with a flexible, finite carrier for dermal application, is new.

Also claimed is a method of stabilising ALA.

Pref. ALA is dispersed throughout the carrier which is a pressure sensitive bioadhesive. It is a synthetic cpd. selected from polyacrylates, polysiloxanes and polyisobutylenes or mixts. of them. The adhesive also contains a stabilising amount of saccharide selected from dextrans, dextrins, polysaccharides, disaccharides and monosaccharides (e.g. dextrose, fructose, D-glucose and L-glucose).

USE - The compsn. is used to treat any visible, cutaneous lesion or other undesired rapidly growing cells, especially e.g. neoplastic, aplastic and hyperplastic skin conditions such as basal cell carcinoma, actinic keratosis, psoriasis and similar conditions. The compsn. is admin. topically. The adhesive matrices used to deliver the compsn. should contain 0.5-50% ALA, pref. 5-20% and more pref. 10-20%. The delivery rate is 0.1 micro-g/cm sq./hr., to deliver 0.25 mg/day of ALA, applied to the are being treated for 2-48 hrs.. The optimum concentration of ALA in a patch is 0.1-3.0 mg/cm sq..

ADVANTAGE - The compsn. has increased stability compared to other ALA compsns. and therefore has an increased shelf life. Dwg.0/0

ABEQ US 5446070 A UPAB: 19951011

Flexible finite bioadhesive topical compsns. comprise pharmaceutically active agent solid at ambient temp. 5-70 wt.% w.r.t. whole compsn. of solvent including 5-50 wt.% plasticisers; 20-50 wt.% polysaccharide bioadhesive carrier mixt. with drug; and compsn. free of water, insol. in water and is bloadhesive, and active agent is in noncrystallised form. Agents include analgesic antiinflammatories, CNS drugs, antihistamines, antiallergics, antiinflammatories, androgenic and oestrogenic steroids, cardiotonics, coronary vasodilators, vasoconstrictors, beta blockers, antiarrhythmics, Ca antagonists, hormones vitamins cholinergic blockers, etc..

ADVANTAGE - Topical application for local and systemic admin. of wide variety of drugs esp. anaesthetics. Dwq.0/0

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Ll
                OR OESTROGEN OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTRE
                L OR NORETHINDRONE OR DESOGESTREL)/CN
             12 SEA FILE=REGISTRY ABB=ON PLU=ON (DEXTROSE OR FRUCTOSE OR
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                DEXTRATE OR CELLULOSE OR STARCH)/CN
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                OR NORETHINDRONE OR DESOGESTREL
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                OR DEXTRATE OR CELLULOSE OR STARCH
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L23
                ? OR HRT OR HORMON? REPLAC? THERAP?)
L24
              3 S L23 NOT (L12 OR L18)
L24 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
     Entered STN: 08 Aug 2003
ACCESSION NUMBER:
                         2003:610233 CAPLUS
                          139:154925
DOCUMENT NUMBER:
                          Method for increasing the water-solubility of
TITLE:
                          lipophilic active substances, especially drugs
                          using solvents and lipids and production of highly
                          concentrated aqueous compositions
                          Bogdanovic, Eva; Grzimek, Katja; Schatton,
INVENTOR(S):
                          Wolfgang
                          Klinipharm G.m.b.H., Germany
PATENT ASSIGNEE(S):
                          PCT Int. Appl., 36 pp.
SOURCE:
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                    KIND
                                DATE APPLICATION NO.
     WO 2003063830 A1 20030807 WO 2003-EP333 20030115
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO,
             NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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Searcher : Shears 571-272-2528

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,

A1 20030821 A1 20041103

SN, TD, TG

DE 10203923

EP 1471886

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

20030821 DE 2002-10203923

PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

EP 2003-704402

20020131

PRIORITY APPLN. INFO.: DE 2002-10203923 A 20020131

WO 2003-EP333 W 20030115

AB The invention relates to a method for increasing the solubility of lipophilic, active substances in aqueous systems and to the corresponding production of highly concentrated aqueous compns. of lipophilic active substances,

selected from hormones, hormone analogs, terpenes, imidazoles, resins and their derivs. According to the inventive method, an alc. solution of the one or more active substances is mixed with vesicle-forming lipids. The composition so obtained is then reacted with a gel-containing mixture, thereby obtaining highly concentrated compns. that can be topically administered directly as such in the form of gels or that can be lyophilized. In the latter case, powders are obtained that can be processed, depending on the desired application, to for example creams, gels, ointments, adhesive dressings, solns., capsules, tablets, granules, etc. The inventive method allows to incorporate such lipophilic active substances in high amts. and to obtain a storage-stable form that can be easily transported. Thus 5 kg of 10% testosterone or testosterone propionate in aqueous solution was prepared by dissolving 500 g of the hormone at 50°C in

ethanol-propylene glycol (1:1) and adding a liposome concentrate prepared from

1 g cholesterol and 10 g lecithin. The mixture was brought to 5 kg with Carbopol 940 or Carbobol 980 solution

IT 57-83-0, Progesterone, biological studies

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(method for increasing the water-solubility of lipophilic active substances, especially drugs using solvents and lipids and production of highly concentrated aqueous compns.)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

4

ED Entered STN: 08 Mar 1996

ACCESSION NUMBER: 1996:135963 CAPLUS

DOCUMENT NUMBER: 124:185617

TITLE: Tablet, capsule, or granule comprising

desogestrel

INVENTOR(S): De Haan, Pieter; Egberink, Johannes G. J.

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth. SOURCE: Eur. Pat. Appl., 5 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 688565	A1	19951227	EP 1995-201495	19950607
EP 688565	B1	20031126		
R: AT, BE, CH,	DE, DK	, ES, FR, GE	B, GR, IE, IT, LI, NL,	PT, SE
IL 113816	A1	19981206	IL 1995-113816	19950522
CA 2150642	AA	19951209	CA 1995-2150642	19950531

FI 9502765	A	19951209	FI	1995-2765		19950606
AU 9520531	A1	19951214	AU	1995-20531		19950606
AU 697512	B2	19981008				
HU 71491	A2	19951128	HU	1995-1654		19950607
HU 218282	В	20000728				
BR 9502704	A	19960305	BR	1995-2704		19950607
CN 1122226	Α	19960515	CN	1995-107349		19950607
CN 1057673	В	20001025				
RU 2160107	C2	20001210	RU	1995-109859		19950607
AT 254921	E	20031215	ΑT	1995-201495		19950607
PT 688565	T	20040331	PT	1995-201495		19950607
ES 2210272	Т3	20040701	ES	1995-201495		19950607
JP 07330610	A2	19951219	JP	1995-141768		19950608
US 5709881	Α	19980120	US	1997-864435		19970528
нк 1001996	A1	20040319	HK	1998-101018		19980211
PRIORITY APPLN. INFO.:			ΕP	1994-201625	Α	19940608
			US	1995-458373	В1	19950602

AB The invention relates to a tablet, capsule, or granule for oral administration comprising desogestrel, wherein desogestrel is mixed or dissolved in a solid selected from a lubricant free from organic solvents, and a waxy substance not being a lubricant. The solid matrix prevents desogestrel from migration to the environment and decomposition For example, desogestrel, ethinylestradiol, and DL-α-tocopherol were dissolved in a heated stearic acid and the solution was added to granules made from lactose, starch, and PVP. The mixture was admixed with colloidal silica and compressed into cores, which were film-coated using a coating suspension containing hydroxypropyl Me cellulose, polyethylene glycol, titania, and talc in water.

IT 54024-22-5, Desogestrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oral dosage forms containing desogestrel in solid matrix)

L24 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 23 Feb 1991

ACCESSION NUMBER: 1991:69079 CAPLUS

DOCUMENT NUMBER: 114:69079

TITLE: Osmotic dosage form comprising an estrogen

and a progestogen

INVENTOR(S): Wright, Jeri D.; Childers, Jerry D.; Barcley,

Brian L.; Wong, Patrick S. L.; Atkinson, Linda E.

PATENT ASSIGNEE(S): Alza Corp., USA

SOURCE:

U.S., 14 pp. CODEN: USXXAM

DOCUMENT TYPE: CODEN: US

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4948593	Α	19900814	US 1989-351365	19890515
CA 2015709	AA	19901115	CA 1990-2015709	19900430
ZA 9003445	Α	19910227	ZA 1990-3445	19900507
WO 9014075	A1	19901129	WO 1990-US2749	19900515
W: AU, JP, KR	, NO			

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RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE
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     AU 9057414
                         A1
                                19901218
                                            AU 1990-57414
     AU 632824
                          B2
                                19930114
     EP 472645
                          A1
                                19920304
                                            EP 1990-908831
                                                                   19900515
     EP 472645
                                19930714
                          В1
         R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE
     JP 04505328
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                               19920917
                                            JP 1990-508511
                                                                   19900515
                                            AT 1990-908831
     AT 91410
                          E
                                19930715
                                                                   19900515
     ES 2057570
                          Т3
                                19941016
                                            ES 1990-908831
                                                                   19900515
                                           NO 1991-3961
                                                                   19911010
     NO 9103961
                                19911010
                          Α
PRIORITY APPLN. INFO.:
                                            US 1989-351365
                                                                A 19890515
                                                                A 19900515
                                            EP 1990-908831
                                            WO 1990-US2749
                                                                A 19900515
AΒ
     An osmotic device for delivering contraceptive steroids comprises, (1)
     a wall permeable to the passage of fluid, (2) a compartment containing an
     estrogen, a progestogen, and a osmopolymer which
     increases in dimensions upon entering of the fluid, and (3) \ge 1
     exit passageway that connects the exterior of the device with the
     compartment. The invention device simultaneously delivers the
     estrogen and progestogen at a controlled rate. A
     1st composition containing polyethylene oxide, hydroxypropyl Me
     cellulose, norethindrone, ethinyl estradiol, and Mg
     stearate and a 2nd composition containing polyethylene oxide, NaCl,
     hydroxypropyl Me cellulose, FD&C blue lake number 1, and Mg
     stearate were sep. granulated and pressed into a laminate form; 2
     laminates were pressed into a contacting arrangement and surrounded
     with a semipermeable wall comprising cellulose acetate and
     polyethylene glycol dissolved in acetone/water. The
     wall-coated bilaminates were dried at room temperature and a 20 mil exit
     orifice was laser drilled on the contraceptive laminate side.
     product was dried in an oven at 50° for 1 h and given a color
     overcoat to enhance its esthetic appearance. Schematic drawings of
     the osmotic device are given.
     57-83-0D, Progesterone, mixts. with estrogen
     68-22-4D, 17\alpha-Ethinyl-19-nortestosterone, mixts. with
     estrogen 797-63-7D, mixts. with estrogen
     6533-00-2D, DL-Norgestrel, mixts. with
     estrogen 35189-28-7D, mixts. with estrogen
     54024-22-5D, mixts. with estrogen
     RL: BIOL (Biological study)
        (contraceptive osmotic device containing)
     (FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
     JICST-EPLUS, JAPIO' ENTERED AT 15:51:35 ON 11 APR 2006)
L25
              4 S L23
              1 S L25 NOT (L13 OR L21)
L26
L26 ANSWER 1 OF 1 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
                      2005-476234 [48]
ACCESSION NUMBER:
                                         WPIDS
DOC. NO. CPI:
                      C2005-145147
TITLE:
                      Semi-solid or liquid pharmaceutical preparation,
                      useful for hormone replacement
                      therapy and for contraception, comprises
                      ultraviolet light sensitive active ingredient and
                      ultraviolet-absorbing substance.
```

Searcher : Shears 571-272-2528

A96 B05 B07 D22

DERWENT CLASS:

INVENTOR(S):

BRACHT, S; PODHAISKY, H

PATENT ASSIGNEE(S):

(BRAC-I) BRACHT S; (PODH-I) PODHAISKY H

COUNTRY COUNT:

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG
----US 2005129756 A1 20050616 (200548)* 7

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE		
US 2005129756	Al Provisional	US 2003-533277P	20031230		

PRIORITY APPLN. INFO: FR 2003-28353 20031210

AN 2005-476234 [48] WPIDS

AB US2005129756 A UPAB: 20050728

NOVELTY - A semi-solid or liquid pharmaceutical preparation comprises at least one ultraviolet (UV)-light sensitive active ingredient and at least one UV-absorbing substance, where the at least one UV-absorbing substance is present only in an amount such that it does not have pharmacological activity and is present in **dissolved** or dispersed form.

ACTIVITY - Endocrine-Gen.; Contraceptive.

MECHANISM OF ACTION - None given.

USE - The preparation is useful for hormone

replacement therapy; and for contraception.

ADVANTAGE - The UV-light sensitive active ingredient penetrates or permeates human skin to a much greater extent and depth than the UV-absorbing substance during transdermal administration, so that the UV-absorbing substance is contained in skin layers substantially above the UV-light sensitive active ingredient absorbed in the human skin, in order to at least reduce an amount of UV radiation reaching the at least one UV-light sensitive active ingredient. The preparation has a high stability without the disadvantages of the known semi-solid transdermal application forms; and reduces the injurious side effects, such as absorption of the UV-light protecting ingredient in the body, resulting from the intended light protection.

Dwg.0/0

(FILE	'CAPLUS'	ENTERED	ΑТ	15:52:37	ON	11	APR	2006)
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- L1 6 SEA FILE=REGISTRY ABB=ON PLU=ON (PROGESTIN OR ESTROGEN
 OR OESTROGEN OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTRE
 L OR NORETHINDRONE OR DESOGESTREL)/CN
- L2

 12 SEA FILE=REGISTRY ABB=ON PLU=ON (DEXTROSE OR FRUCTOSE OR SORBITOL OR XYLITOL OR SUCROSE OR LACTOSE OR MANNITOL OR DEXTRATE OR CELLULOSE OR STARCH)/CN
- L3 135150 SEA FILE=CAPLUS ABB=ON PLU=ON L1 OR PROGESTIN OR
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 OESTROGEN? OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTREL
 OR NORETHINDRONE OR DESOGESTREL
- L4 862305 SEA FILE=CAPLUS ABB=ON PLU=ON L2 OR DEXTROSE OR FRUCTOSE
 OR SORBITOL OR XYLITOL OR SUCROSE OR LACTOSE OR MANNITOL
 OR DEXTRATE OR CELLULOSE OR STARCH
- L27 948 SEA FILE=CAPLUS ABB=ON PLU=ON L3(S)L4
- L31 112 SEA FILE=CAPLUS ABB=ON PLU=ON L27 AND (ORAL(3A)CONTRACEPT

? OR HRT OR HORMON? REPLAC? THERAP?) L32 3 SEA FILE=CAPLUS ABB=ON PLU=ON L31 AND (("NON" OR "NOT") (3A) (CRYSTAL? OR CRYST##) OR DISSOLV? OR DISSOLUTION OR DISSOL##) L33 0 S L32 NOT (L12 OR L18 OR L24) (FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 15:57:04 ON 11 APR 2006) 4 S L32 L34 0 S L34 NOT (L13 OR L21 OR L26) L35 (FILE 'CAPLUS' ENTERED AT 15:59:00 ON 11 APR 2006) L36 11 S L5 AND ADMIX? L37 10 S L36 NOT (L12 OR L18 OR L24) L37 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 16 Jun 2005 ACCESSION NUMBER: 2005:517364 CAPLUS DOCUMENT NUMBER: 143:48103 TITLE: Contraceptives based on a progestogen and an estrogen Paris, Jacques; Thomas, Jean Louis INVENTOR(S): Laboratoire Theramex, Monaco PATENT ASSIGNEE(S): U.S., 7 pp., Cont.-in-part of U.S. 6,831,073. SOURCE: CODEN: USXXAM DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 4 PATENT INFORMATION: DATE APPLICATION NO. PATENT NO. KIND DATE 20050614 US 1999-423108 19980410 FR 1996-12239 US 6906049 В1 19991025 A1 19980410 B1 19981224 A1 19980416 FR 2754179 19961008 FR 2754179 WO 1997-FR1792 19971008 WO 9815279 W: AU, BR, CA, CN, CU, CZ, HU, ID, IL, JP, KR, MG, MX, NO, NZ, PL, RO, RU, SG, SK, TR, US, VN, YU RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG EP 1334725 A2 20030813 EP 2003-8979 19971008 A3 20040121 EP 1334725 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI 20041214 US 1999-284147 19990317 US 6831073 В1 A1 20010503 WO 1999-FR2587 WO 2001030355 19991025 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE A1 20041104 US 2004-753073 20040108 US 2004220163 A 19961008 PRIORITY APPLN. INFO.: FR 1996-12239 WO 1997-FR1792 W 19971008 A2 19990317 US 1999-284147 WO 1999-FR2587 W 19991025

Searcher : Shears 571-272-2528

EP 1997-944940

A3 19971008

US 1999-423108 A2 19991025

AB The invention relates to novel contraceptive compns. formed from a progestogen and an estrogen. The invention relates specifically to contraceptive compns., characterized in that they contain, as active ingredients, a nomegestrol ester and estradiol, in combination or admixt. with an inert, nontoxic vehicle or a diluent which is suitable for oral administration. Thus, a tablet formulation contained estradiol 2.50, Povidone 15.00, and lactose 82.50%.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 17 Jun 2004

ACCESSION NUMBER: 2004:490267 CAPLUS

DOCUMENT NUMBER: 141:42919

TITLE: Free-flowing solid formulations with improved

bio-availability of poorly water soluble drugs and

process for making the same

INVENTOR(S): Li, Wenji; Alosio, Edward; Dema-Ala, Bricini

Faith; Nguyen, Amy

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 9 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			i	APPL	ICAT:	DATE					
US WO	US 2004115226 WO 2004054540 WO 2004054540				A2 20040701											
wo	W :	AE, CN, GE, LC, NO, TM,	AG, CO, GH, LK, NZ, TN,	AL, CR, GM, LR, OM, TR,	AM, CU, HR, LS, PH, TT,	AT, CZ, HU, LT, PL, TZ,	AU, DE, ID, LU, PT, UA,	AZ, DK, IL, LV, RO, UG,	DM, IN, MA, RU, UZ,	DZ, IS, MD, SC, VC,	BG, EC, JP, MG, SD, VN, SZ,	EE, KE, MK, SE, YU,	ES, KG, MN, SG, ZA,	FI, KP, MW, SK, ZM,	GB, KR, MX, SL, ZW	GD, KZ, MZ, TJ,
	2003 2006 Y APP	DK, SE, MR, 3008:	EE, SI, NE, 33	ES, SK, SN,	FI, TR, TD, A1	FR, BF, TG	GB, BJ, 2004	GR, CF, 0709	HU, CG,	IE, CI, AU 2 JP 2 US 2	BE, IT, CM, 003-1	LU, GA, 3008: 5603: 3176:	MC, GN, 33 72 57	NL, GQ,	PT, GW, 2 2 A 2	RO, ML, 0031209 0031209 0021212
									1	WO 2	:003-1	US38:	979	1	w 2	0031209

AB Disclosed is a free-flowing solid formulations of drugs or pharmaceutical agents which have poor aqueous solubility are obtained by admixing a liquid or gel composition that includes 1-30 % of the drug, 5-60 % of a surfactant, 10-40 % of water; 1-20 % of unsatd. fatty acid ester, 0-50 % water miscible pharmaceutically acceptable

polyol and 1-10 % phospholipid with a pharmaceutically acceptable suitable solid carrier and thereafter drying the admixt. The free-flowing powder is suitable for being formed into tablets or capsules. The drug or pharmaceutical agent is solubilized in the formulation and has significantly improved bio-availability when compared to the drug tested in its pure form. A gel composition containing polyoxyethylene sorbitan monooleate 35, propylene glycol 25, Et linoleate 8, simvastatin 4, and 5 % lecithin aqueous solution q.s. to 100 % was formulated. Colloidal silicon dioxide 30 parts was granulated with the obtained gel 70 parts. The granules was dried to provide a free-flowing powder. When this powder was exposed to a gastric medium of pH 1.2, 67 % of the drug simvastatin dissolved within 10 min.

IT 57-83-0, Progesterone, biological studies 68-22-4,

Norethindrone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (free-flowing solid formulations with improved bio-availability of poorly water soluble drugs obtained from gel compns. containing surfactants, fatty acid esters, polyols, and phospholipids)

IT 9005-25-8, Starch, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (free-flowing solid formulations with improved bio-availability of poorly water soluble drugs obtained from gel compns. containing surfactants, fatty acid esters, polyols, and phospholipids, and carriers)

L37 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 06 Jun 2003

ACCESSION NUMBER: 2003:434374 CAPLUS

DOCUMENT NUMBER: 138:406979

TITLE: Dosage regimen and pharmaceutical composition for

emergency contraception

INVENTOR(S): Van Look, Paul F. A.; Balogh, Illesne; Komandi,

Katalin; Nemes, Laszlo; Szabo, Zsolt

PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE									APPLICATION NO. DATE									
WO 2003045397					A1 2003060			0605	,	WO 2	002-1		20021126					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,		
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,		
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,		
		NO,	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,		
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,		
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	fΙ,	FR,	GB,	GR,	ΙĖ,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD, TG		
CA	2450	359			AA		2003	0605	1	CA 2	002-	2450	359		2	0021126		
ΑU	2002	3474	01		A1		2003	0610		AU 2	002-	3474	01		2	0021126		
BR	2002	0105	95		А		2004	0608		BR 2002-10595						20021126		
ΕP	1448	207			A 1		2004	0825		EP 2	002-	7833	34		2	0021126		
ΕP	1448	207			В1		2005	0427										

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
             PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                            CN 2002-813752
    CN 1551774
                          Α
                                20041201
                                                                   20021126
    NZ 530056
                          Α
                                20050225
                                            NZ 2002-530056
                                                                   20021126
    AT 293978
                                            AT 2002-783334
                          Ε
                                20050515
                                                                   20021126
    JP 2005516904
                          T2
                                20050609
                                            JP 2003-546899
                                                                   20021126
                                            ES 2002-2783334
                                                                   20021126
    ES 2239727
                          Т3
                                20051001
                                20040420
                                            NO 2004-1607
                                                                   20040420
    NO 2004001607
                         Α
                                20050829
                                            ZA 2004-4114
                                                                   20040526
    ZA 2004004114
                         Α
                                            US 2004-495923
                                                                   20041005
    US 2005032755
                         Α1
                                20050210
    US 2005288264
                         A2
                                20051229
                                                                A 20011127
PRIORITY APPLN. INFO.:
                                            HU 2001-5173
                                            WO 2002-HU129
                                                                W 20021126
```

AB The invention relates to a dosage regimen for emergency contraception, to pharmaceutical compns. of the same purpose, to the use of levonorgestrel for the manufacture of pharmaceutical compns. for the same purpose, as well as to the manufacturing process of these pharmaceutical compns. The emergency contraception carried out by the use of levonorgestrel as active ingredient is characterized by administering a single application dose containing 1.5 mg levonorgestrel as active ingredient up to 72 h after the coitus. The pharmaceutical compns. for emergency contraception contain only 1.5 mg of levonorgestrel as active ingredient in each application dose in admixt. with known excipients, diluents, flavoring or aromatizing, stabilizers, as well as formulation-promoting or formulation-providing additives, commonly used in the pharmaceutical practice. Thus, a tablet composition contained levonorgestrel 1.5, colloidal silica 0.5, corn starch 23.5, potato starch 0.5, talc 2.5, Mg stearate 1.0, and lactose monohydrate 70.5 mg.

IT 797-63-7, Levonorgestrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (dosage regimen and pharmaceutical composition containing levonorgestrel for emergency contraception)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

2

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 20

2002:555334 CAPLUS

DOCUMENT NUMBER:

137:114525

TITLE:

Syntactic deformable pharmaceutical foam

compositions

INVENTOR(S):

Odidi, Isa; Odidi, Amina

PATENT ASSIGNEE(S):

Can.

SOURCE:

PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

n. 1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
WO 2002056861	A2	20020725	WO 2002-CA54	20020117		
WO 2002056861	Δ3	20021017				

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
             NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
             CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
             SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                20041005
                                            US 2001-765783
                          В1
                                                                    20010119
     US 6800668
                                20020725
                                            CA 2002-2435276
                                                                    20020117
     CA 2435276
                          AΑ
                                20050315
     CA 2435276
                          С
                                            US 2001-765783
                                                                A 20010119
PRIORITY APPLN. INFO.:
                                            WO 2002-CA54
                                                                W 20020117
     The invention relates to methods for preparing a syntactic foam composition
AΒ
     suitable for use as a carrier for chems. or other compds., including
     pharmaceuticals. Carbopol 971P, hydroxyethyl cellulose,
     cellulose microspheres and silica, was mixed in a high-shear
     mixer. The resulting admixt. was treated with 2-propanol,
     while simultaneously subjecting the admixt. to high-shear
     forces in the high-shear mixer. This mixing created a uniform stable
     syntactic deformable and compressible dendritic solid foam which could
     be shaped before drying. Metoprolol succinate was added to the above
     admixt. and subjected to high-shear agitation for 2 min before
     treatment with 2-propanol. A stable syntactic deformable and
     compressible dendritic solid foam which could be shaped before drying
     was obtained. This was dried at 40°. The dried foam was the
     disentangled by size reduction to obtain discrete particles. The free
     flowing particles were reassembled and shaped by compression in a
     mold. The shaped units, when subjected to an aqueous medium, released
     metoprolol over a period of \leq 3 h.
     50-70-4, Sorbitol, biological studies
     50-99-7, Glucose, biological studies 57-50-1,
     Sucrose, biological studies 63-42-3, Lactose
     68-22-4, Norethindrone 69-65-8,
     Mannitol 87-99-0, Xylitol 797-63-7
     , Levonorgestrel 9004-34-6, Cellulose,
     biological studies 9005-25-8, Starch, biological
     studies
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (syntactic deformable pharmaceutical foam compns.)
L37 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
     Entered STN: 21 Apr 2000
                         2000:259972 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         132:293042
TITLE:
                         Encapsulation of sensitive liquid components into
                         a matrix to obtain discrete shelf-stable particles
INVENTOR(S):
                         Van Lengerich, Bernhard H.
PATENT ASSIGNEE(S):
                         General Mills, Inc., USA
SOURCE:
                         PCT Int. Appl., 56 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
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PATENT INFORMATION:

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KIND DATE APPLICATION NO.
    PATENT NO.
                                                                  DATE
    WO 2000021504 A1 20000420 WO 1999-US20905 19991006
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU,
             CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
             SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                       AA 20000420 CA 1999-2345815 19991006
A1 20000501 AU 1999-63872 19991006
    CA 2345815
                        A1
    AU 9963872
                         B2 20041104
A1 20010801
                        B2
    AU 777977
                                           EP 1999-951433
    EP 1119345
                                                                   19991006
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
             PT, IE, SI, LT, LV, FI, RO
                       T2 20020827
                                           JP 2000-575480
    JP 2002527375
                                                                    19991006
                                            US 1998-103700P
                                                               P 19981009
PRIORITY APPLN. INFO.:
                                            US 1998-109696P
                                                               P 19981124
                                            US 1999-233443
                                                               A 19990120
                                            WO 1999-US20905
                                                                W 19991006
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- A liquid encapsulant component which contains an active, sensitive AB encapsulant, such as a live microorganism or an enzyme dissolved or dispersed in a liquid plasticizer is admixed with a plasticizable matrix material. The matrix material is plasticizable by the liquid plasticizer and the encapsulation of the active encapsulant is accomplished at a low temperature and under low shear conditions. The active component is encapsulated and/or embedded in the plasticizable matrix component or material in a continuous process to produce discrete, solid particles. The liquid content of the liquid encapsulant component provides substantially all or completely all of the liquid plasticizer needed to plasticize the matrix component to obtain a formable, extrudable, cuttable, mixture or dough. Removal of liquid plasticizer prior to extrusion is not needed to adjust the viscosity of the mixture for formability. Release of an active component from the matrix may be delayed or controlled over time so that the active component is delivered when and where it is needed to perform its intended function. Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant.
- IT 9005-25-8D, Starch, hydrolyzates
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); BIOL (Biological study)
 (encapsulation of sensitive liquid components into matrix to obtain discrete shelf-stable particles)
- IT 57-83-0, Progesterone, biological studies 68-22-4,
 Norethindrone 797-63-7, Levonorgestrel
 6533-00-2, Norgestrel 9004-34-6,
 Cellulose, biological studies 9005-25-8,

Starch, biological studies

RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses) (encapsulation of sensitive liquid components into matrix to obtain

discrete shelf-stable particles)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L37 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 20 May 1998

ACCESSION NUMBER: 1998:293427 CAPLUS

DOCUMENT NUMBER: 129:8597

TITLE: Embedding and encapsulation of controlled release

particles

INVENTOR(S): Van Lengerich, Bernhard H.

PATENT ASSIGNEE(S): Van Lengerich, Bernhard H., USA

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE			APPLICATION NO.							DATE	
WO		610 AU,						0507	,	WO	19	97-	US18	984			19971027	
		AT,		CH,				FI,	FR,	GE	3,	GR,	IE,	IT,	LU,	MC	, NL,	
CA	2269	806			AA		1998 2006	0507		CA	19	97-	2269	806			19971027	
CA	2269	806			С		2006	0124										
							1998			AU	19	97-	4991	5			19971027	
AU	7441	56			B2		2002	0214										
EP	9355	23			A1		1999	0818		ΕP	19	97-	9128	25			19971027	
							2004											
		PT.	IE.	FI													, MC,	
JP	2002	5117	7 7		Т2		2002	0416		JΡ	19	98-	5205	58			19971027	
EP	1342	548			A1		2003	0910		ΕP	20	03-	1003	1			19971027	
		PT,	IE,	FI													, MC,	
AT	2777	39			E		2004	1015		ΑT	19	97-	9128	25			19971027 19990428	
ИО	9902	036			Α		1999	0428		NO	19	99-	2036				19990428	
PRIORITY	APP	LN.	INFO	. :						US	19	96-	2903	8P		P	19961028	
										US	19	97-	5271	7 P		P	19970716	
										ΕP	19	97-	9128	25		A 3	19971027	
										WO	19	97-	US18	984		W	19971027	

AB Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A release-rate controlling component is incorporated into the matrix to control the rate of release of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity

component for extending the release time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one release-rate controlling component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temperature of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixture The mixture is extruded though a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. Release properties may also be controlled by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using starch, polyethylene, glycerol monostearate, and vegetable oil.

IT 57-83-0, Progesterone, biological studies 68-22-4,

Norethindrone 797-63-7, Levonorgestrel

6533-00-2, Norgestrel 9004-34-6D,

Cellulose, esters and ethers, biological studies

9005-25-8, Starch, biological studies

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(embedding and encapsulation of controlled release particles)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

5

ED Entered STN: 09 May 1997

ACCESSION NUMBER: 1997:296929 CAPLUS

DOCUMENT NUMBER: 126:282824

TITLE:

. . . .

Use of esters of polyhydric alcohols to enhance the oral bioavailability of drug substances as

well as novel esters and pharmaceutical

compositions containing them

INVENTOR(S):

Weidner, Morten Sloth

PATENT ASSIGNEE(S):

Weidner, Morten Sloth, Den.

SOURCE:

PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						KIND DATE			APPLICATION NO.							DATE		
WO	9709	978			A1 19970320				,	WO 1	19960913							
	W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,		
		DE,	DK,	EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,		
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,		
		NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,		
		ŪG,	US,	UZ,	VN,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM				
	RW:	ΚE,	LS,	MW,	SD,	SZ,	ŬĠ,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,		
		GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG					
AU	AU 9668699				A1 19970401				AU 1996-68699						19960913			
PRIORITY APPLN. INFO.:										DK 1995-1018						A 19950913		

WO 1996-DK387 W 19960913

Esters of polyhydric alcs. having 2-8 carbon atoms, said esters containing at least one fatty acid moiety of 1-3 carbon atoms and at least one saturated or unsatd. fatty acid moiety of 4-30 carbon atoms, are used for the preparation of pharmaceutical compns. comprising at least one drug substance and at least one such ester and having enhanced oral bioavailability of the drug substance. A method of enhancing the oral bioavailability of drug substances comprises admixing at least one such ester with a drug substance or drug formulation. esters, except those of glycerol, are novel compds. E.g., a progesterone mixed-chain length ester composition was prepared including esters of glycerol with acetic acid as the short chain and a nol. of long chain fatty acids.

50-70-4D, Sorbitol, esters with fatty acids 50-99-7D, Glucose, esters with fatty acids 57-83-0, Progesterone, biological studies RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (esters of polyhydric alcs. to enhance the oral bioavailability of drugs)

L37 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 18 Oct 1986

1986:539483 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 105:139483

Compatibility of Soldactone in intravenous TITLE:

infusions

Shimizu, Akiko; Mori, Masaaki; Kawasaki, Tadashi AUTHOR(S):

Dep. Pharm., Ishikawa Prefect. Cent. Hosp., CORPORATE SOURCE:

Kanazawa, 920-02, Japan

SOURCE: Byoin Yakugaku (1985), 11(6), 495-511

CODEN: BYYADW; ISSN: 0389-9098

DOCUMENT TYPE: Journal Japanese LANGUAGE:

K canrenoate [2181-04-6], the active ingredient of the i.v. additive, Soldactone, was precipitated at lower pH, and the product caused physicochem.

incompatibility with many other injections. Soldactone was mixed with many kinds of i.v. fluids, and the changes in the pH and appearance of the mixture were observed A precipitate was produced immediately after combination with acidic i.v. fluids such as electrolyte fluid containing dextrose or fructose and amino acid fluids. Since Soldactone (200 mg) is mixed with sorbitol or 5% dextrose fluid, the compatibility on the addition of the third i.v. additive to this i.v. admixt. was examined As a result, 30 to 40% of 188 i.v. additives studied showed a phys. and chemical incompatibility upon mixing. Thus, few additives as possible in i.v. fluids are recommended when preparing i.v. admixts. since the risk of incompatibilities increases with the number of additives.

57-48-7, biological studies

RL: BIOL (Biological study)

(Soldactone injection solution compatibility with)

50-99-7, biological studies 69-65-8 87-99-0 IT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Soldactone injection solution compatibility with, in infusions)

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN L37

Entered STN: 12 May 1984 ED

ACCESSION NUMBER: 1984:109018 CAPLUS

DOCUMENT NUMBER: 100:109018

TITLE: Compatibility of cephacetrile sodium injection

AUTHOR(S): Koshiro, Akira; Fujita, Toshio

CORPORATE SOURCE: Dep. Pharm., Yamaguchi Univ. Hosp., Ube, 755,

Japan

Ι

SOURCE: Byoin Yakugaku (1983), 9(5), 398-406

CODEN: BYYADW; ISSN: 0389-9098

DOCUMENT TYPE: Journal LANGUAGE: Japanese

GI

NCCH₂CONH H S CH₂OAc CO₂H

AB The compatibility of cephacetrile sodium (I) [23239-41-0] with 71 additives was examined in 5% glucose solution containing vitamin B complexes (thiamine hydrochloride, FAD and pyridoxal phosphate). Each ampul or vial was dissolved in 50 mL of glucose solution, where a higher concentration

was 10-fold as high as that of the usual preparation Kanamycin sulfate [25389-94-0], Vistamycin [25546-65-0], Futraful [17902-23-7], Diamox [59-66-5], Neophyllin [479-18-5], Meylon [144-55-8], Stronger Neo Minophagen C [88863-96-1], Proteamin 12X, 5-FU [51-21-8] and urokinase [9039-53-6] accelerated the degradation of cephacetrile. The compatibility of these additives was reexamd. under conditions in which each injection was mixed in 500 mL glucose solution, and all the admixts. except for Neophyllin showed the residual amts. above 90% after 6 h and were compatible. White turbidity was found in the admixts. of FOY [56974-61-9], Novamin and Wintermin which may be due to the formation of the salts of the components with cephacetrile with low solubility

IT 87-99-0

RL: BIOL (Biological study)

(cephacetrile compatibility with)

L37 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 12 May 1984

ACCESSION NUMBER: 1977:589392 CAPLUS

DOCUMENT NUMBER: 87:189392

TITLE: Compatibility of various admixtures with

secondary additives at Y-injection sites of

intravenous administration sets

AUTHOR(S): Allen, Loyd V., Jr.; Levinson, R. Saul;

Phisutsinthop, Daranee

CORPORATE SOURCE: Coll. Pharm., Univ. Oklahoma, Oklahoma City, OK,

USA

SOURCE: American Journal of Hospital Pharmacy (1977),

34(9), 939-43

CODEN: AJHPA9; ISSN: 0002-9289

DOCUMENT TYPE: Journal

¥

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LANGUAGE:
                         English
    The majority of the drugs added at the Y-injection site of an i.v.
AR
     administration set were phys. compatible. Incompatibilities of
     secondary additives observed included phenytoin Na [630-93-3], diazepam
     [439-14-5], and methylprednisolone Na succinate [2375-03-3]. Some of
     the factors a pharmacist needs to be concerned with regard to
     additives at Y-injection sites are pH, solubility, and the specific
     formulations of the additives. Pharmacist should monitor the addition of
     any drug at a Y-injection site of an i.v. admixt.
     administration set.
IT
     50-99-7, biological studies
     RL: BIOL (Biological study)
        (injection solution, compatibility with secondary additives at i.v.
        administration set injection site)
     (FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
     JICST-EPLUS, JAPIO' ENTERED AT 15:59:54 ON 11 APR 2006)
L38
              8 S L36
              8 S L38 NOT (L13 OR L21 OR L26)
L39
              8 DUP REM L39 (0 DUPLICATES REMOVED)
L40
L40 ANSWER 1 OF 8 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER:
                     2006-064535 [07] WPIDS
CROSS REFERENCE:
                      2005-057217 [06]; 2005-356197 [36]; 2005-372234 [38];
                      2005-372291 [38]; 2005-386243 [39]; 2005-396175 [40];
                      2005-405314 [41]; 2005-417822 [42]; 2005-417876 [42];
                      2005-417878 [42]; 2005-417899 [42]; 2005-444825 [45];
                      2005-496654 [50]; 2005-496788 [50]; 2005-496790 [50];
                      2005-496791 [50]; 2005-505434 [51]; 2005-505982 [51];
                      2005-511941 [52]; 2005-511942 [52]; 2005-512238 [52];
                      2005-551256 [56]; 2005-561192 [57]; 2005-563204 [57];
                      2005-563205 [57]; 2005-563206 [57]; 2005-563207 [57];
                      2005-563229 [57]; 2005-570765 [58]; 2005-581168 [59];
                      2005-590475 [60]; 2005-590482 [60]; 2005-590483 [60];
                      2005-590484 [60]; 2005-628969 [64]; 2005-629445 [64];
                      2005-636982 [65]; 2005-675082 [69]; 2005-675083 [69];
                      2005-675084 [69]; 2006-037957 [04]
DOC. NO. CPI:
                      C2006-023701
TITLE:
                     Dry powder composition for sealing tissue of patient,
                      comprises first and second components having core
                      substituted with nucleophilic and electrophilic
                      groups that inter-react in aqueous environment to
                      form three-dimensional composition.
                     A96 B05 B07
DERWENT CLASS:
INVENTOR(S):
                     DANILOFF, G Y; GRAVETT, D M; SCHROEDER, J; SEHL, L C;
                     TOLEIKIS, P M; TROLLSAS, O M
                      (DANI-I) DANILOFF G Y; (GRAV-I) GRAVETT D M; (SCHR-I)
PATENT ASSIGNEE(S):
                      SCHROEDER J; (SEHL-I) SEHL L C; (TOLE-I) TOLEIKIS P
                     M; (TROL-I) TROLLSAS O M
COUNTRY COUNT:
                      1
PATENT INFORMATION:
    PATENT NO
                    KIND DATE
                                  WEEK
                                            LΑ
                                                 PG
     ______
     US 2005281883 A1 20051222 (200607)*
                                               91
APPLICATION DETAILS:
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Searcher : Shears 571-272-2528

APPLICATION

PATENT NO

KIND

DATE

US 2004-566569P 20040428 US 2005281883 Al Provisional US 2005-118088 20050428

PRIORITY APPLN. INFO: US 2004-566569P 20040428; US 2005-118088 20050428

2006-064535 [07] AN WPIDS 2005-057217 [06]; 2005-356197 [36]; 2005-372234 [38]; 2005-372291 CR [38]; 2005-386243 [39]; 2005-396175 [40]; 2005-405314 [41]; 2005-417822 [42]; 2005-417876 [42]; 2005-417878 [42]; 2005-417899 [42]; 2005-444825 [45]; 2005-496654 [50]; 2005-496788 [50]; 2005-496790 [50]; 2005-496791 [50]; 2005-505434 [51]; 2005-505982 [51]; 2005-511941 [52]; 2005-511942 [52]; 2005-512238 [52]; 2005-551256 [56]; 2005-561192 [57]; 2005-563204 [57]; 2005-563205 [57]; 2005-563206 [57]; 2005-563207 [57]; 2005-563229 [57]; 2005-570765 [58]; 2005-581168 [59]; 2005-590475 [60]; 2005-590482 [60]; 2005-590483 [60]; 2005-590484 [60]; 2005-628969 [64]; 2005-629445 [64]; 2005-636982 [65]; 2005-675082 [69]; 2005-675083 [69]; 2005-675084 [69]; 2006-037957 [04] US2005281883 A UPAB: 20060227 AΒ

NOVELTY - A dry powder composition, comprises first component having a core substituted with m nucleophilic groups and a second component having a core substituted with n electrophilic groups, where the nucleophilic and electrophilic groups are non-reactive in a dry environment but are rendered reactive upon exposure to an aqueous environment such that the components inter-react in the aqueous environment to form a three-dimensional composition.

DETAILED DESCRIPTION - A dry powder composition (C1) comprises first component having a core substituted with m nucleophilic groups, where m at least 2, and a second component having a core substituted with n electrophilic groups, where n at least 2 and m+n greater than 4, where the nucleophilic and electrophilic groups are non-reactive in a dry environment but are rendered reactive upon exposure to an aqueous environment such that the components inter-react in the aqueous environment to form a three-dimensional composition.

INDEPENDENT CLAIMS are also included for:

- (1) a kit for use in medical applications, comprising (a) (C1), a first buffer solution having a pH of 1-5.5, and a second buffer solution having a pH of 6-11, where each component is packaged separately and admixed immediately prior to use, or (b) a first component having a core substituted with m nucleophilic groups, where m at least 2, a second component having a core substituted with n electrophilic groups, where n at least 2 and m+n greater than 4, a first buffer solution having a pH of 1-5.5, and a second buffer solution having a pH of 6-11, where the nucleophilic and electrophilic groups are non-reactive in a dry environment but are rendered reactive upon exposure to an aqueous environment such that the components inter-react in the aqueous environment to form a three-dimensional composition, and further where each component is packaged separately and admixed immediately prior to use; and
- (2) a crosslinkable composition (C2) comprising a first crosslinkable component having m nucleophilic groups, where m at least 2, and a second crosslinkable component having n electrophilic groups capable of reaction with the m nucleophilic groups to form covalent bonds, where n at least 2 and m+n at least 5, the first component comprises two or more amino acid residues chosen from amino acids comprising primary amine groups and amino acids comprising thiol groups, the second component comprises a polyethylene glycol moiety or

Searcher : 571-272-2528 Shears

multifunctionally activated polyethylene glycol moiety, the electrophilic groups are succinimidyl moieties, and each of the first and second crosslinkable components is biocompatible, synthetic, and nonimmunogenic, and further where crosslinking of the composition results in a biocompatible, nonimmunogenic, crosslinked matrix.

ACTIVITY - Vasotropic. No supporting data is given.

MECHANISM OF ACTION - MCP-1 antagonist; MMP inhibitor; NF kappaB inhibitor; NO antagonist; MAP kinase inhibitor; Phosphadiesterase inhibitor; TGF beta inhibitor; Tyrosine kinase inhibitor; TACE inhibitor; Vitronectin inhibitor (all claimed).

USE - (C1) is useful for forming a three-dimensional matrix, which involves providing (C1) and rendering the nucleophilic and electrophilic groups reactive by exposing (C1) to an aqueous environment to effect inter-re action, where the exposure comprises dissolving (C1) in a first buffer solution having a pH of 1.0-5.5 to form a homogeneous solution, and adding a second buffer solution having a pH of 6.0-11.0 to the homogeneous solution, and allowing a three-dimensional composition to form, where the composition is formed without input of any external energy, and the composition is formed by polymerization. The pH of the first buffer solution is selected to retard the reactivity of the nucleophilic groups on the first component by rendering the nucleophilic groups relatively non-nucleophilic. The second buffer solution neutralizes the effect of the first buffer solution, so that the nucleophilic groups of the first component regain their nucleophilic character and inter-react with the electrophilic groups of the second component. The first buffer solution and second buffer solution are housed separately in a multiple-compartment syringe system having multiple barrels, a mixing head, and an exit orifice, where the rendering step comprises adding the first buffer solution to the barrel housing the composition to dissolve the composition and form a homogeneous solution, and extruding the homogeneous solution into the mixing head, simultaneously extruding the second buffer solution into the mixing head, and extruding the resulting composition through the orifice onto a surface. (C1) is useful for sealing tissue of a patient, which involves carrying out the method as described above and placing the mixture into contact with tissue and allowing a three-dimensional composition to form and seal the tissue. (C1) is useful for preventing adhesions between tissues of a patient, forming a three-dimensional matrix on a surface of a device, which involves carrying out the method as described above and applying the homogeneous solution to a surface of a device, and allowing the three-dimensional matrix to form. (C1) is useful for preventing or promoting scarring in the vicinity of a medical implant, by applying the mixture to a surface of a medical implant and allowing a three-dimensional matrix to form on the surface of the medical implant, and placing the medical implant into an animal host, where release of the anti-fibrotic agent from the matrix inhibits scarring in the animal host. The anti-fibrotic agent is released into tissue in the vicinity of the implant after deployment of the implant (all claimed). (C1) is useful as bioadhesives for tissue augmentation, for prevention of surgical adhesions, for coating surfaces of synthetic implants, as drug delivery matrices, for ophthalmic applications, orthopedic applications, as sealants, hemostats and other applications. (C1) is useful for blocking or filling various lumens and voids in the body of a mammalian subject, as biosealants to seal fissures or crevices within a tissue or structure (such as a vessel), or junctures between adjacent tissues or structures, to prevent leakage of blood or other biological fluids. (C1) is useful for sealing or closing a fistula,

where a scar promoting agent or sclerosing agent. (C1) is useful for treating aneurysm and preventing restenosis. (C1) is useful as a large space-filling device for organ displacement in a body cavity during surgical or radiation procedures, for example to protect the intestines during a planned course of radiation to the pelvis. Dwg.0/3

L40 ANSWER 2 OF 8 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2005-424564 [43] WPIDS

DOC. NO. CPI: C2005-130292

TITLE: New compound, comprising an azodisulfide chelate

conjugated to a targeting ligand (e.g. tumor angiogenesis targeting ligand) useful for e.g.

imaging a tumor or infectious site and assessing the

pharmacology of an agent.

DERWENT CLASS: B04 B05 D16 K08

INVENTOR(S): BRYANT, J L; OH, C; YANG, D J; YU, D

PATENT ASSIGNEE(S): (CELL-N) CELL POINT LLC; (TEXA) UNIV TEXAS SYSTEM

COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO KIND DATE WEEK LA PG
----US 2005129619 A1 20050616 (200543)* 68

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2005129619	A1	US 2003-732919	20031210

PRIORITY APPLN. INFO: US 2003-732919 20031210

AN 2005-424564 [43] WPIDS AB US2005129619 A UPAB: 20050707

NOVELTY - A compound (A), comprising an azodisulfide chelate conjugated to a targeting ligand, is new.

DETAILED DESCRIPTION - A new compound (A), comprises an N2S2 chelate conjugated to a targeting ligand (where the ligand is a disease cell cycle targeting compound, a tumor angiogenesis targeting ligand, a tumor apoptosis targeting ligand, a disease receptor targeting ligand, amifostine, angiostatin, monoclonal antibody C225, monoclonal antibody CD31, monoclonal antibody CD40, capecitabine, cyclooxygenase-2 (COX-2), deoxycytidine, fullerene, herceptin, human serum albumin, lactose, luteinizing hormone, pyridoxal, quinazoline, thalidomide, transferrin or trimethyl lysine).

INDEPENDENT CLAIMS are also included for:

- (1) a method of synthesizing a radiolabeled N2S2 chelate conjugated to targeting ligand;
- (2) a method of imaging a site within a mammalian body comprising administration of (A) to the site and detecting a radioactive signal from the compound localized at a site;
- (3) a kit for preparing a radiopharmaceutical preparation comprising a sealed container including a predetermined quantity of (A) and a reducing agent; and
- (4) a method of assessing the pharmacology of an agent of interest comprising preparing a conjugate of the agent to N2S2 chelate, adding a radioactive nuclide to the conjugated chelate to form a radioactive conjugate, administering the radioactive conjugate

to a subject and assessing the pharmacology of the agent. ACTIVITY - Cytostatic; Vasotropic; Antiapoptotic.

MECHANISM OF ACTION - Radioimmunotherapy; Antiangiogenic. USE - (A) Is useful for imaging a site (a tumor, an infection, cancers of breast, ovary, prostate, endometrium, heart, lung, brain, liver, folate (+), endoplasmic reticulum (ER (+)), spleen, pancreas or intestine) within a mammalian body and assessing the pharmacology of an agent of interest (claimed). (A) Is useful in the fields of labeling, radioimaging, radioimmunotherapy and chemical synthesis. (A) Is useful to target tumor angiogenesis, hypoxia, apoptosis, disease receptors, disease functional pathways and disease cell cycles, as well as for the assessment of pharmaceutical agent effectiveness on these biochemical processes. Dwg.0/43

L40 ANSWER 3 OF 8 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-571320 [55] WPIDS

DOC. NO. CPI:

C2004-208523

TITLE:

Composition, useful for reducing tissue adhesion after surgery or coating catheters and contact lenses, comprises a synthetic polymer (preferably hydroxysuccinimidyl PEG derivative) comprising

multiple activated groups.

DERWENT CLASS:

A96 B05

INVENTOR(S):

EMBREE, L; GRAVETT, D M; MAITI, A; TAKACS-COX, A;

TOLEIKIS, P M

PATENT ASSIGNEE(S):

(ANGI-N) ANGIOTECH INT AG; (ANGI-N) ANGIOTECH INT

GMBH; (GRAV-I) GRAVETT D M

COUNTRY COUNT:

108

PATENT INFORMATION:

PATENT NO				KI	4D I	D DATE W				EEK LA				PG								
WO	200	406	040!	 5	A2	200	040	722	(20	(200455)*		 EN	1 :	- 189	_							
	RW:	ΑT	BE	BG	BW	СН	CY	CZ	DE	DK	EΑ	EE	ES	FI	FR	GB	GH	GM	GR	HU	ΙE	ΙT
		KE	LS	LU	MC	MW	MZ	NL	OA	PT	RO	SD	SE	SI	SK	SL	SZ	TR	TZ	UG	ZM	ZW
	W:	ΑE	AG	AL	AM	ΑT	ΑU	ΑZ	BA	BB	ВG	BR	BY	BZ	CA	CH	CN	CO	CR	CU	CZ	DE
		DK	DM	DZ	EC	EE	EG	ES	FΙ	GB	GD	GE	GH	GM	HR	HU	ID	IL	IN	IS	JΡ	ΚE
		KG	ΚP	KR	ΚZ	LC	LΚ	LR	LS	LT	LU	LV	MA	MD	MG	MK	MN	MW	ΜX	MZ	NI	ИО
		ΝZ	OM	PG	PH	PL	PT	RO	RU	sc	SD	SE	SG	SK	\mathtt{SL}	SY	ТJ	TM	TN	TR	TT	TZ
		UA	UG	US	UZ	VC	VN	YU	ZΑ	z_{M}	ZW											
US	200	421	9214	4	A1	200	041	104	(20	0047	73)											
ΑU	200	330	3513	3	A1	200	040	729	(20	0047	77)											
ΕP	158	356	1		A2	200	0510	012	(20	0056	57)	EN	1									
	R:	AL	AT	BE	BG	CH	CY	CZ	DE	DK	EΕ	ES	FI	FR	GB	GR	HU	ΙE	IT	LI	LT	LU
		LV	MC	MK	NL	PT	RO	SE	SI	SK	TR											
ΑU	200	330	3513	3	A8	200	051	124	(20	0060)4)											

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE			
WO 2004060405	A2	WO 2003-US41576	20031230			
US 2004219214	Al Provisional	US 2002-437384P	20021230			
	Provisional	US 2003-440924P	20030117			
		US 2003-749123	20031230			
AU 2003303513	A1	AU 2003-303513	20031230			
EP 1583561	A2	EP 2003-808608	20031230			
		WO 2003-US41576	20031230			

AU 2003303513 A8

AU 2003-303513

20031230

FILING DETAILS:

PATENT NO	KIND	PATENT NO						
AU 2003303513	Al Based on	WO 2004060405						
EP 1583561	A2 Based on	WO 2004060405						
AU 2003303513	A8 Based on	WO 2004060405						

PRIORITY APPLN. INFO: US 2003-440924P 20030117; US

2002-437384P 20021230; US 2003-749123 20031230

AN 2004-571320 [55] WPIDS AB W02004060405 A UPAB: 20040826

NOVELTY - A composition (I) comprising a synthetic polymer (A) comprising multiple activated groups and an aqueous buffer (where the composition is a homogeneous solution having a pH of less than 6 or greater than about 7.8), is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

- (1) affecting (M1) biological processes in vivo comprises selecting an in vivo biological tissue comprising functional groups X, providing (I) comprising (A) and a drug (B), the polymer comprising multiple activated groups Y (where Y is reactive with X) and contacting the tissue with (I) (under conditions where X reacts with Y and biological processes in the vicinity of the tissue are affected by the drug);
- (2) a method (M2) comprising contacting tissue in vivo with (A) comprising multiple activated groups (where the activated groups are tissue-reactive) and reacting (A) with the tissue so as to covalently adhere (A) to the tissue;
- (3) a method (M3) comprising contacting a non-living surface with (A) comprising multiple activated groups (where the activated groups are tissue-reactive) and reacting (A) with the surface to covalently adhere (A) to the surface;
- (4) preparing (M4) a reactive composition comprising providing (A) comprising multiple activated groups, combining (A) with a buffer having a pH of less than 6 to form a homogeneous solution and raising the pH of the homogeneous solution to a pH of more than about 7.8, rendering (A) reactive;
- (5) adhering (M5) a synthetic polymer to in vivo tissue comprising providing a synthetic polymer comprising multiple activated groups, combining (A) with a buffer having a pH of less than 6 to form a homogeneous solution, raising the pH of the homogeneous solution to a pH of more than 7.8 (rendering the synthetic polymer reactive) and contacting the reactive synthetic polymer with in vivo tissue;
- (6) coating (M6) a device comprising applying a multifunctional hydroxysuccinimidyl PEG derivative to the surface of the device and allowing the derivative to react with functional groups on the device surface; and
- (7) reducing (M7) surgical adhesions comprising applying a multifunctional hydroxysuccinimidyl PEG derivative to a tissue surface.

ACTIVITY - Vulnerary.

Female New Zealand White rabbits were prepared for sterile abdominal surgery. A laparotomy was performed and both uterine horns were exteriorized. Each horn was scraped 40 times with a scalpel blade and rubbed with gauze for 2.5 minutes, In six animals, a 4-arm-PEG formulation was sprayed evenly over the injured horns. Six other

animals were left untreated. The horns were replaced in the abdominal cavity and the wound was closed in layers. the animals were recovered and kept for 14 days. The animals were sacrificed, abdominal cavity opened and uterine horns exposed. Mean adhesion length was 85+/-19 cm in the control group. Adhesion length was decreased to 34+/-46 cm in the treatment group.

MECHANISM OF ACTION - None given.

USE - For affecting biological processes in vivo, especially tissue that has undergone surgical trauma (for example via surgery due to brain surgery, hepatic resection surgery, colon tumor resection surgery etc.) and at risk of adhesion formation. Hence, (I) (which may also include a drug) is especially useful for adhering to in vivo tissue and reducing surgical adhesions. (I) may also be used to coat a device such as a catheter or a contact lens (all claimed). Dwg.0/19

L40 ANSWER 4 OF 8 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER:

2004-420336 [39] WPIDS

DOC. NO. CPI:

C2004-157888

TITLE:

New conjugates comprising nitrogen disulfide chelate conjugated with targeting ligand useful for imaging a site e.g. tumor, infection and breast cancer within a mammalian body.

DERWENT CLASS:

B02 B04 D16 K08

INVENTOR(S):

BRYANT, J L; OH, C; YANG, D J; YU, D

PATENT ASSIGNEE(S):

(TEXA) UNIV TEXAS SYSTEM; (CELL-N) CELLPOINT LLC;

(CELL-N) CELL POINT LLC

COUNTRY COUNT:

108

PATENT INFORMATION:

PAT	ENT	ИО			KI	ND I	TAC	Ξ	V	WEEK			LA	1	PG							
WO	200	404	422'	 7	A2	200	040	527	(200439) * EN 113				113	-								
	RW:	AT	ΒĒ	BG	BW	CH	CY	CZ	DE	DK	EΑ	EE	ES	FI	FR	GB	GH	GM	GR	HU	ĮΕ	IT
		KE	LS	LU	MC	MW	ΜZ	NL	ΟA	PT	RO	SD	SE	SI	SK	\mathtt{SL}	SZ	TR	TZ	UG	ZM	zw
	W:	ΑE	AG	AL	AM	ΑT	ΑU	ΑZ	BA	BB	ВG	BR	BW	BY	BZ	CA	CH	CN	CO	CR	CU	CZ
		DE	DK	DM	DZ	EC	EE	EG	ES	FI	GB	GD	GE	GH	GM	${\tt HR}$	HU	ID	IL	IN	IS	JP
		KE	KG	ΚP	KR	ΚZ	LC	LK	LR	LS	LT	LU	LV	MA	MD	MG	MK	MN	MW	MX	ΜZ	NI
		NO	ΝZ	OM	PG	PH	PL	PT	RO	RU	SC	SD	SE	SG	sĸ	\mathtt{SL}	SY	TJ	TM	TN	$\mathbf{T}\mathbf{R}$	TT
		TZ	UA	UG	US	UZ	VC	VN	YU	ZA	zM	zw										
US	200	416	605	8	A1	200	0408	326	(20	0045	57)											
ΑU	200	329'	726	1	A1	200	0406	503	(20	0041	70)											
EP	156	264	1		A2	200	0508	317	(20	0055	54)	EN	1									
	R:	AL	ΑT	ΒE	BG	CH	CY	CZ	DE	DK	EE	ES	FI	FR	GB	GR	HU	ΙE	IT	LI	LT	LU
		LV	MC	MK	NL	PT	RO	SE	SI	SK	${\tt TR}$											
NO	200	5002	226	5	Α	200	0508	303	(20	0055	58)											
BR	200	301	604	6	Α	200	0509	913	(20	0056	51)											

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE			
WO 2004044227	A2	WO 2003-US36078	20031107			
US 2004166058	Al Provisional	US 2002-424493P	20021107			
		US 2003-703405	20031107			
AU 2003297261	A1	AU 2003-297261	20031107			
EP 1562641	A2	EP 2003-811262	20031107			
		WO 2003-US36078	20031107			
NO 2005002265	A	WO 2003-US36078	20031107			

NO 2005-2265 BR 2003016046 A BR 2003-16046

BR 2003-16046 20031107 WO 2003-US36078 20031107

20050510

FILING DETAILS:

PATENT NO	KIND	PATENT NO					
AU 2003297261 EP 1562641	A1 Based on A2 Based on	WO 2004044227 WO 2004044227					
BR 2003016046	A Based on	WO 2004044227					

PRIORITY APPLN. INFO: US 2002-424493P 20021107; US

2003-703405 20031107

AN 2004-420336 [39] WPIDS AB W02004044227 A UPAB: 20040621

NOVELTY - A compound comprising N2S2 chelate conjugated to a targeting ligand (L1) is new.

DETAILED DESCRIPTION - A compound (C1) comprising N2S2 chelate conjugated to a targeting ligand (L1). (L1) is a disease cell cycle targeting compound (preferably adenosine, penciclovir, FIAU, FIRU, IVFRU, GCV, PCV, FGCV, FPCV, FHPG, FHBG or guanine, preferably penciclovir or adenosine), a tumor angiogenesis targeting ligand (preferably COX-2, anti-ECF, herceptin, angistatin or thalidomide), tumor apoptosis targeting ligand (preferably TRAIL or caspase-3 targeting ligand), a disease receptor targeting ligand (preferably estrogen, androgen, luteinizing hormone, transferrin or progestin), amifostine, angiostatin, monoclonal antibody C225, monoclonal antibody CD31, monoclonal antibody CD40, capecitabine, cyclooxygenase (COX)-2, deoxycytidine, fullerene, herceptin, human serum albumin, lactose, luteinizing hormone, pyridoxal, quinazoline, thalidomide, transferrin or trimethyl lysine.

INDEPENDENT CLAIMS are included for the following:

- (1) synthesizing a radiolabeled N2S2 chelate conjugated to (L1) involving admixing (C1), a radionuclide and a reducing agent (r1) to obtain a radionuclide labeled derivative where the N2S2 chelate forms a chelate with the radionuclide;
- (2) imaging a site within a mammalian body involving administering (C1) to the site and detecting a radioactive signal form the compound;
- (3) a kit for preparing a radiopharmaceutical preparation comprising a sealed container including (C1) and a reducing agent (r2); and
- (4) a method of assessing the pharmacology of an agent involving preparing a conjugate of the agent to an N2S2 chelate, adding a radioactive nuclide to the conjugated chelate to form a radioactive conjugate, administering the radioactive conjugate to a subject and assessing the pharmacology of the agent.
- USE For imaging a site within a mammalian body. The site includes breast cancer, ovarian cancer, prostate cancer, endometrium, heart cancer, lung cancer, brain cancer, liver cancer, folate (+) cancer, ER (+) cancer, spleen cancer, pancreas cancer or intestine cancer, tumor and infection; for assessing the pharmacology of a agent e.g. pharmaceutical agent in laboratory animal or human. The pharmacology includes biodistribution, biostability and bioelimination of the agent (claimed).

ADVANTAGE - The conjugates have very effecting labeling strategy. The specific binding properties of the tissue targeting ligand concentrates the radioactive signal over the area of interest by using

the conjugates. Dwg.0/43

L40 ANSWER 5 OF 8 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1992-309641 [38] WPIDS

DOC. NO. CPI: C1992-137497

TITLE: Low dose dry steroidal preparation - combined with

excipient having binding capacity greater than 80 per

cent and de-mixing potential less than 10 per cent.

DERWENT CLASS: B01 B07 P33

INVENTOR(S): DE, HAAN P; DEURLOO, M J; DEURLOO, M PATENT ASSIGNEE(S): (ALKU) AKZO NV; (ALKU) AKZO NOBEL NV

COUNTRY COUNT: 27

PATENT INFORMATION:

PAT	TENT NO		KIN	ND DATE	WEEK	LA		?G		
ΕP	503521		A1	19920916	(199238)*	► EN	15			
	R: AT BE	CH	DE	DK ES FR	GB GR IT	LI LU	MC	NL	PT	SE
ΑU	9212119		Α	19920917	(199245)					
	9200958		Α	19920914	(199246)					
	2062428			19920913						
	9201023									
ZA	9201659		Α	19921125	(199302)		26			
	05078251				(199317)		11			
CN	1064810		Α	19920930	(199323)					
ΝZ	241915		Α	19940427						
				19940804						
US	5382434		Α	19950117	(199509)		7			
EP	503521		В1	19950719	(199533)	EN	16			
	R: AT BE	CH	DE	DK ES FR	GB GR IT	LI LU	MC	NL	PT	SĒ
	69203488									
ES	2077897		Т3	19951201	(199604)					
ΙE	67345		В	19960320	(199626)					
	100770			19980227	(199814)					
ИО	305055		В1	19990329	(199919)					
	198017									
CA	2062428		С	20020730	(200259)	EN				
JΡ	3474210		В2	20031208	(200403)		11			
CN	1039086		С	19980715	(200457)					
ΕP	503521		В2	20051109	(200574)	EN				
	R: AT BE	CH	DE	DK ES FR	GB GR IT	LI LU	MC	NL	PT	SE

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE			
EP 503521	A1	EP 1992-103963	19920309			
AU 9212119	A	AU 1992-12119	19920306			
NO 9200958	A	NO 1992-958	19920311			
CA 2062428	Α	CA 1992-2062428	19920305			
FI 9201023	A	FI 1992-1023	19920309			
ZA 9201659	A	ZA 1992-1659	19920305			
JP 05078251	Α	JP 1992-53936	19920312			
CN 1064810	Α	CN 1992-101566	19920311			
NZ 241915	A	NZ 1992-241915	19920310			
AU 651869	В	AU 1992-12119	19920306			
US 5382434	A Cont of	US 1992-849921	19920312			
		US 1994-216236	19940322			

ΕP	503521	B1	EP	1992-103963	19920309
DΕ	69203488	E	DE	1992-603488	19920309
			ΕP	1992-103963	19920309
ES	2077897	Т3	ΕP	1992-103963	19920309
ΙE	67345	В	ΙE	1992-634	19920227
FI	100770	B1	FI	1992-1023	19920309
NO	305055	B1	NO	1992-958	19920311
KR	198017	B1	KR	1992-3956	19920311
CA	2062428	С	CA	1992-2062428	19920305
JP	3474210	B2	JΡ	1992-53936	19920312
CN	1039086	С	CN	1992-101566	19920311
ΕP	503521	B2	ΕP	1992-103963	19920309

FILING DETAILS:

PA?	TENT NO	KII	ND		PATENT NO					
AU	651869	В	Previous	Publ.	AU	9212119				
DE	69203488	E	Based on		EP	503521				
ES	2077897	Т3	Based on		EP	503521				
FI	100770	В1	Previous	Publ.	FI	9201023				
NO	305055	В1	Previous	Publ.	ИО	9200958				
JΡ	3474210	В2	Previous	Publ.	JP	05078251				

PRIORITY APPLN. INFO: EP 1991-200524 19910312

AN 1992-309641 [38] WPIDS

AB EP 503521 A UPAB: 19950301

Process for making low dose dry pharmaceutical prepns. containing at least one micronised steroidal medicinal agent present in an amount 0.005-0.5% by weight of the dosage unit comprises dry mixing 1-100 parts by weight of the steroid with 2,000-20,000 parts by weight of an excipient capable of binding the steroid to an extent greater than 80% and with a demixing potential for the steroid of less than 10%. Further excipients may opt. be added.

Also claimed is the dry mixture itself and the process of compressing the admixture into tablets.

The excipient is pref. spray dried polyalcohol, granulated alphalactose monohydrate or mixts. thereof. Suitable medicinal steroids include desogestrel, 3-keto desogestrel, ethinylestradiol, gestodene and mixts. thereof.

USE/ADVANTAGE - This process has advantages over other dry granulation methods in that the dry mixts. are very homogeneous with regard to content uniformity. The dosage forms are easier to handle and mfr. and the tablets have an enhanced dissolution rate. The tablets are also stable to temperature and humidity change. Furthermore since a dry granulation process is employed no organic solvents need to be used thereby eliminating the hazards of solvents. The dry mixture may be formulated into tablets, capsules, powders or slugged granulates

Dwg.0/6

Dwg.0/6

ABEQ US 5382434 A UPAB: 19950306

A pharmaceutical dosage unit (I) comprises (A) 1-100 pts.wt. of a steroid (pref. desogestrel, 3-ketodesogestrel, ethinyloestradiol, gestodene or mixts. of these), which is uniformly distributed throughout (B) 2000-20000 pts.wt. of an excipient (pref. a spray-dried poly-alcohol, granulated alpha-lactose monohydrate or mixts. of these) which has a binding affinity for (A) of more than 80 % and a demixing potential of less than 10 %. (I) are

tablets, capsules or slugged granulates.

Prepn. of (I) is described.

ADVANTAGE - Stability is ensured.

Dwq.0/6

503521 B UPAB: 19950824 ABEO EP

A process of making pharmaceutical dosage units containing at least one micronized steroidal medicinal agent present in an amount varying from 0.005 to 0.5 percent by weight of each pharmaceutical dosage unit comprising: dry mixing 1 to 100 parts by weight, of said steroidal medicinal agent with 2000 to 20,000 parts, by weight, of an excipient capable of binding said steroidal medicinal agent to an extent greater than 80% and a demixing potential of less than 10% for said steroidal medicinal agent, selected from the group consisting of a spray-dried polyalcohol, granulated alpha-lactose monohydrate, or mixtures thereof.

Dwg.0/6

L40 ANSWER 6 OF 8 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1990-172865 [23] WPIDS

DOC. NO. CPI:

C1990-075260

TITLE:

Fast-dissolving pharmaceutical buccal tablet -

comprises water soluble excipient pref.

sorbitol which dissolves in about one minute.

DERWENT CLASS:

B07

INVENTOR(S):

MCCARTY, J A

PATENT ASSIGNEE(S):

(SCHE) SCHERING CORP

COUNTRY COUNT:

36

PATENT INFORMATION:

PAT	TENT	ИО			KI	ND I	DAT:	E	WEEK				LA	1	?G				
EP	371 R:	466 GR			A	199	900	606	(19	990:	23)	ŧ			_				
WO	900	613	6		Α	199	900	614	(19	990:	27)								
	RW:	ΑT	BE	CH	DE	ES	FR	GB	IT	LU	NL	ΟA	SE						
	W:	ΑU	ВВ	ВG	BR	DK	FI	HU	JΡ	ΚP	KR	LK	MC	MG	MW	ИО	RO	SD	SU
CA	200	4033	3		Α	199	900	531	(19	990	33)								
	894																		
	890																		
	910																		
	910																		
ΕP	446	298			Α	199	910	918	(19	991	38)								
	R:	ΑT	ΒE	СН	DE	ES	FR	GB	IT	LI	LU	NL	SE						
NO	910	200	1		Α	199	910	524	(19	991	38)								
US	507	3374	4		Α	199	911:	217	(19	992	02)								
US	511	261	6		Α	199	920.	512	(19	992	22)			2					
JP	045	023:	18		W	199	920	423	(19	992	23)			4					
ΙL	924	83			Α	199	930	922	(19	993	49)								

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 371466	A	EP 1989-121953	19891128
ZA 8909070 EP 446298	A A	ZA 1989-9070 EP 1990-901225	19891128 19891128
US 5073374 US 5112616	A A Divex	US 1988-278099 US 1988-278099	19881130 19881130
0.00000		US 1991-773183	19911008

JP 04502318	W	WO 1989-US5260	19891128
		JP 1990-501287	19891128
TT. 92483	A	IL 1989-92483	19891128

FILING DETAILS:

PATENT NO	ΚI	ND	1	PATENT NO
US 5112616 JP 04502318	• •	Div ex Based on		5073374 9006136

PRIORITY APPLN. INFO: US 1988-278099 19881130; US

1991-773183 19911008

AN 1990-172865 [23] WPIDS AB EP 371466 A UPAB: 19951204

A pharmaceutical buccal tablet comprises a water soluble excipient.

Pref. the water soluble buccal tablet excipient is sorbitol and the tablet further comprises a pharmaceutically acceptable lubricant sodium dodecyl sulphate. The method of preparing the tablet comprises admixing an active ingredient and the water-soluble excipient.

USE/ADVANTAGE - The buccal tablet dissolves in about one minute. The tablet provides extremely rapid drug delivery in an unexpected manner giving blood levels which are comparable to parenteral administration of the active ingredient. The tablet contains e.g. an estrogen, Progestins, thyroid hormones, analgesics, ergotamine derivs., bromocryptine, pH sensitive peptides, and small proteins, Physostigime, Scopalamine, Verpamil or gallopamil as active ingredient. @(6pp Dwg.No.0/0)

ABEQ US 5073374 A UPAB: 19930928

A buccal tablet consists of A) a buccaly absorbable active ingredient, B) 90-99 wt.% sucrose, lactose or sorbitol as excipient and C) 1-3 wt.% Mg stearate or Na dodecyl sulphate as pharmaceutically acceptable lubricant. Dissolution of the tablet is achieved within 0.5-5 min. after administration.

The excipient is pref. sorbitol, the lubricant Na dodecyl sulphate and the active ingredient estradiol or scopolamine in amounts of 50 microgram to 2 mg.

ADVANTAGE - A rapid delivery of the active ingredient by the buccal route is achieved.

ABEQ US 5112616 A UPAB: 19930928

A new buccal tablet (I) comprises a buccally absorbable active ingredient, 1-3% lubricant (II) (chosen so that disintegration occurs 0.5-5 mins after admin.) and 90-99% buccal tablet excipient (III).

(II) is Mg stearage or Na dodecyl sulphate; (III) is solid (polyethylene glycol or glycerides, melting between 25 and 45 deg.C), surfactant (nonionic poly(oxypropylene) poly(oxyethylene) copolymers, polyoxyethylene polysorbate derivs. or Na lauryl sulphate) or solid and surfactant.

ADVANTAGE - (I) provides drug delivery at a rate comparable to parenteral admin.

L40 ANSWER 7 OF 8 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1986-055187 [08] WPIDS

DOC. NO. NON-CPI: N1986-040418 DOC. NO. CPI: C1986-023429

TITLE: Composite core coated microparticles - prepared by forming core of active ingredient and polymer then

coating with same polymer.

DERWENT CLASS: A96 B07 P42

INVENTOR(S): NUCEFORA, W A; NUWAYSER, E S

PATENT ASSIGNEE(S): (BIOT-N) BIOTEK INC

COUNTRY COUNT:

PATENT INFORMATION:

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 4568559	Α	US 1984-577079	19840206

PRIORITY APPLN. INFO: US 1984-577079 19840206; US

1985-803733 19851202

AN 1986-055187 [08] WPIDS AB US 4568559 A UPAB: 19930922

A process for preparing coated microcapsules comprises (a) preparing a solid, dry, composite admixt. of a uniform dispersion of an active ingredient to be coated and a film-forming polymer, (b) reducing the dry, composite admixt. to provide composite core particles of defined particle size distribution of less than 1000 microns, which is the same or slightly less than the particle size distribution of the desired coated microparticles to be prepared, (c) coating the reduced core particles in a fluidised bed with a uniform defined wall thickness of the same film-forming polymer material used in preparing the composite core materials and (d) recovering the coated microparticles of the desired size distribution range.

The polymer is, e.g. polyvinyl alcohol, cellulose material, a polylactide, a polyglycolide or copolymer of lactide and glycolide. The active ingredient is, e.g. levonorgestrel, testosterone, progesterone, nonoxynol-9, povidone iodine, cholesterol, tyrosine, norethindrone, lidocaine, etidocaine or bupivacaine.

ADVANTAGE - The dispersion of the wall coating polymer in the core drug particle improves the mechanical properties of the core by minimising attrition caused by particle breakage during coating and improves adhesion between the coating polymer and the composite core. The composite core material provides for quick and uniform spreading of the coating material on the particle surface with immediate formation of a dry, non-tacky film which reduces the formation of agglomerates. The wall coatings can be applied to particles with any shape.

0/2

L40 ANSWER 8 OF 8 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 84127721 EMBASE

DOCUMENT NUMBER: 1984127721

TITLE: Stability of sodium fosfomycin in transfusion

admixture.

AUTHOR: Shiraishi T.; Ishikawa S.; Sugawara K.; Kitame F. CORPORATE SOURCE: Department of Pharmacy, Yamagata University Hospital,

Nishinomae, Zao Iida, Yamagata, Japan

SOURCE: Yakuzaigaku, (1984) Vol. 44, No. 1, pp. 50-55. .

CODEN: YAKUA2

COUNTRY: Japan
DOCUMENT TYPE: Journal

FILE SEGMENT: 037 Drug Literature Index

LANGUAGE: Japanese SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 10 Dec 1991

Last Updated on STN: 10 Dec 1991

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

FILE 'MEDLINE' ENTERED AT 16:01:00 ON 11 APR 2006

FILE LAST UPDATED: 8 APR 2006 (20060408/UP). FILE COVERS 1950 TO DATE.

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>). See also:

http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd04/nd04 mesh.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 med data changes.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 2006 MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

L41 L42 L43	38088	SEA FILE=MEDLINE ABB=ON SEA FILE=MEDLINE ABB=ON SEA FILE=MEDLINE ABB=ON	PLU=ON PLU=ON PLU=ON	PROGESTINS/CT ESTROGENS/CT "CONTRACEPTIVES, ORAL"/CT
L44	3736	SEA FILE=MEDLINE ABB=ON THERAPY"/CT	PLU=ON	"HORMONE REPLACEMENT
L45	2519	SEA FILE=MEDLINE ABB=ON L44)	PLU=ON	(L41 OR L42) AND (L43 OR
L46	3657	SEA FILE=MEDLINE ABB=ON	PLU=ON	EXCIPIENTS/CT
L47	0	SEA FILE=MEDLINE ABB=ON	PLU=ON	L45 AND L46

L41	6656	SEA	FILE=MEDLINE	ABB=ON	PLU=ON	PROGESTINS/CT
L42	38088	SEA	FILE=MEDLINE	ABB=ON	PLU=ON	ESTROGENS/CT
L46	3657	SEA	FILE=MEDLINE	ABB=ON	PLU=ON	EXCIPIENTS/CT
L48	3	SEA	FILE=MEDLINE	ABB=ON	PLU=ON	(L41 OR L42) AND L46

L48 ANSWER 1 OF 3 MEDLINE on STN ACCESSION NUMBER: 2004526683 MEDLINE DOCUMENT NUMBER: PubMed ID: 15497335

TITLE: [Estrogens--drug preparations].

Estrogene--Spielwiese fur Galeniker.

AUTHOR: Daniels Rolf

CORPORATE SOURCE: Institut fur Pharmazeutische Technologie, Technische

Universitat Braunschweig.. r.daniels@tu-braunschweig.de

SOURCE: Pharmazie in unserer Zeit, (2004) Vol. 33, No. 5, pp.

392-7. Ref: 10

Journal code: 0337763. ISSN: 0048-3664.

PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

General Review; (REVIEW)

LANGUAGE: German

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200411

ENTRY DATE: Entered STN: 20041023

Last Updated on STN: 20041219 Entered Medline: 20041119

ED Entered STN: 20041023

Last Updated on STN: 20041219 Entered Medline: 20041119

L48 ANSWER 2 OF 3 MEDLINE on STN
ACCESSION NUMBER: 2004033692 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14733137

TITLE: Examination of sex-hormonal activity of some additives

for PVDC film.

AUTHOR: Ohta Minoru; Oshima Shozo; Iwasa Toshio; Ito Naofumi;

Morii Masashi; Morino Masayoshi; Nakamura Tadashi;

Nagai Kenji

CORPORATE SOURCE: Japan Hygienic Association of Vinylidene Chloride:

1-14-7, Nishi-shimbashi, Minato-ku, Tokyo 105-0003,

Japan.

SOURCE: Shokuhin eiseigaku zasshi. Journal of the Food Hygienic

Society of Japan, (2003 Oct) Vol. 44, No. 5, pp.

227-33.

Journal code: 0142214. ISSN: 0015-6426.

PUB. COUNTRY: Japan

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: Japanese

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200402

ENTRY DATE: Entered STN: 20040122

Last Updated on STN: 20040302

Entered Medline: 20040227

ED Entered STN: 20040122

Last Updated on STN: 20040302 Entered Medline: 20040227

AB Stabilizers (epoxidized linseed oil and epoxidized soybean oil) and plasticizers (acetyl tributyl citrate, diacetyl monolauryl glyceride and dibutyl sebacate) commonly used in polyvinylidene chloride (PVDC) films and extracts of such films were investigated for estrogenic and androgenic activity by means of estrogen receptor (ER) and androgen receptor (AR) competitive ligand-binding assays. Further, in in vivo experiments, ovariectomized Sprague-Dawley rats were observed for uterine wet weight change, uterine endometrium hyperplasia and vaginal mucosa cornification, following administration of each test compound or extract orally (0.5 or 500 mg/kg) or subcutaneously (0.5 or 100 mg/kg). No significant response or change was observed with any of the test compounds or extracts, either in vitro or in vivo. The results thus indicate that neither the stabilizers and plasticizers used in PVDC films, nor their extracts, exert sex-hormonal activity.

L48 ANSWER 3 OF 3 MEDLINE on STN

ACCESSION NUMBER: 2002172939 MEDLINE DOCUMENT NUMBER: PubMed ID: 11872641

TITLE: Catechol estrogen metabolites and conjugates in

different regions of the prostate of Noble rats treated

with 4-hydroxyestradiol: implications for estrogen-induced initiation of prostate cancer.

AUTHOR: Cavalieri Ercole L; Devanesan Prabu; Bosland Maarten C;

Badawi Alaa F; Rogan Eleanor G

CORPORATE SOURCE: Eppley Institute for Research in Cancer and Allied

Diseases, University of Nebraska Medical Center, 986805 Nebraska Medical Center, Omaha, NE 68198-6805, USA..

ecavaalie@unmc.edu

CONTRACT NUMBER: P01 CA49210 (NCI)

P30 CA16087 (NCI) P30 CA36727 (NCI) P30 ES00260 (NIEHS) R01 CA49917 (NCI)

SOURCE: Carcinogenesis, (2002 Feb) Vol. 23, No. 2, pp. 329-33.

Journal code: 8008055. ISSN: 0143-3334.

PUB. COUNTRY: England: United Kingdom

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200204

ENTRY DATE: Entered STN: 20020322

Last Updated on STN: 20020405 Entered Medline: 20020404

ED Entered STN: 20020322

Last Updated on STN: 20020405 Entered Medline: 20020404

AΒ Prostate carcinomas arise in 100% of Noble rats treated with estradiol and testosterone. We hypothesize that estrogens initiate prostate cancer mainly by formation of 4-catechol estrogens (CE), followed by their oxidation to catechol estrogen-3,4-quinones (CE-3,4-Q), which can react with DNA. To avoid cancer initiation, CE can be detoxified by catechol-O-methyltransferase (COMT), and CE-3,4-Q by conjugation with glutathione (GSH) or by reduction to CE, catalyzed by quinone reductase and/or cytochrome P450 reductase. To investigate the prostatic metabolism of estrogens, Noble rats were treated with the CE 4-hydroxyestradiol (4-OHE2) or estradiol-3,4-quinone (E2-3,4-Q), and CE metabolites and conjugates were analyzed in the four regions of the prostate, which differ in susceptibility to carcinoma formation. Following treatment of rats with 4-OHE2 (6 micromol/100 g body weight in 200 microl of trioctanoin/dimethylsulfoxide (4:1) by intraperitoneal injection) for 90 min, the non-susceptible ventral (VP) and anterior (AP) prostate had higher levels of 4-methoxyCE and GSH conjugates than the susceptible dorsolateral prostate (DLP) and periurethral prostate (PUP). After treatment with the same molar amount of E2-3,4-Q, the VP and AP contained more GSH conjugates, 4-CE and 4-methoxyCE than the susceptible DLP and PUP. These results suggest that prostate areas susceptible to carcinoma induction have less protection by COMT, GSH, and quinone reductase and/or cytochrome P450 reductase, favoring reaction of CE-3,4-Q with DNA, presumably to initiate cancer.

FILE 'HOME' ENTERED AT 16:03:41 ON 11 APR 2006

=> d his ful

(FILE 'HOME' ENTERED AT 15:34:09 ON 11 APR 2006) SET COST OFF

FILE 'REGISTRY' ENTERED AT 15:34:57 ON 11 APR 2006 E PROGESTIN/CN 5

- Ll 6 SEA ABB=ON PLU=ON (PROGESTIN OR ESTROGEN OR OESTROGEN OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTREL OR NORETHINDRO NE OR DESOGESTREL)/CN
- 12 SEA ABB=ON PLU=ON (DEXTROSE OR FRUCTOSE OR SORBITOL OR L2 XYLITOL OR SUCROSE OR LACTOSE OR MANNITOL OR DEXTRATE OR CELLULOSE OR STARCH)/CN

FILE 'CAPLUS' ENTERED AT 15:36:22 ON 11 APR 2006

- L3 135150 SEA ABB=ON PLU=ON L1 OR PROGESTIN OR PROGESTOGEN? OR GESTAGEN? OR PROGESTAGEN? OR ESTROGEN? OR OESTROGEN? OR NORGESTIMATE OR NORGESTREL OR LEVONORGESTREL OR NORETHINDRO NE OR DESOGESTREL
- 862305 SEA ABB=ON PLU=ON L2 OR DEXTROSE OR FRUCTOSE OR SORBITOL L4OR XYLITOL OR SUCROSE OR LACTOSE OR MANNITOL OR DEXTRATE OR CELLULOSE OR STARCH
- 4021 SEA ABB=ON PLU=ON L3 AND L4 L5
- 307 SEA ABB=ON PLU=ON L5 AND (ORAL(3A)CONTRACEPT? OR HRT OR L6 HORMON? REPLAC? THERAP?)
- L7 16 SEA ABB=ON PLU=ON L6 AND ?CRYSTAL? D KWIC
- L8 2113 SEA ABB=ON PLU=ON L3(L)L4
- 85 SEA ABB=ON PLU=ON L8(L)(ORAL(3A)CONTRACEPT? OR HRT OR L9 HORMON? REPLAC? THERAP?) D KWIC
 - FILE 'CAPLUS' ENTERED AT 15:40:40 ON 11 APR 2006
- 1 SEA ABB=ON PLU=ON L9 AND SCHULTZ ?/AU L10D KWIC
- 85 SEA ABB=ON PLU=ON L8(L)(ORAL(3A)CONTRACEPT? OR HRT(S)HORM L11 ON? OR HORMON? REPLAC? THERAP?)
- 1 SEA ABB=ON PLU=ON L6 AND (("NON" OR "NOT")(3A)(CRYSTAL? L12 OR CRYST##)) D KWIC

D TI AU

FILE 'REGISTRY' ENTERED AT 15:43:55 ON 11 APR 2006

FILE 'CAPLUS' ENTERED AT 15:43:55 ON 11 APR 2006 D QUE L12 D L12 .BEVSTR

FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 15:43:56 ON 11 APR 2006

L13 1 SEA ABB=ON PLU=ON L12 D IBIB ABS

FILE 'CAPLUS' ENTERED AT 15:45:10 ON 11 APR 2006

- 2 SEA ABB=ON PLU=ON L5 AND (("NON" OR "NOT")(3A)(CRYSTAL? L14 OR CRYST##))
- 155 SEA ABB=ON PLU=ON L5 AND (DISSOLV? OR DISSOL## OR L15 DISSOLUTION) D KWIC

	23, 322233
L***	D KWIC 2-3 DEL 76 S L5 AND (DISSOL## OR DISSOLUTION) D KWIC
L16	
L17	3 SEA ABB=ON PLU=ON L16 AND (ORAL(3A)CONTRACEPT? OR HRT OR HORMON? REPLAC? THERAP?) D QUE L14
L18	D QUE L17 3 SEA ABB=ON PLU=ON (L14 OR L17) NOT L12 D 1-3 .BEVSTR
L19 L20 L21 L22	6 SEA ABB=ON PLU=ON (L19 OR L20) NOT L13
L23 L24	FILE 'CAPLUS' ENTERED AT 15:50:12 ON 11 APR 2006 6 SEA ABB=ON PLU=ON L15 AND (ORAL(3A)CONTRACEPT? OR HRT OR HORMON? REPLAC? THERAP?) 3 SEA ABB=ON PLU=ON L23 NOT (L12 OR L18) D QUE L23
L25 L26	D L24 1-3 .BEVSTR FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 15:51:35 ON 11 APR 2006 4 SEA ABB=ON PLU=ON L23 1 SEA ABB=ON PLU=ON L25 NOT (L13 OR L21) D IBIB ABS
L27 L***	FILE 'CAPLUS' ENTERED AT 15:52:37 ON 11 APR 2006 948 SEA ABB=ON PLU=ON L3(S)L4 DEL 2 S L27 AND SCHULTZ ?/AU D TI AU 1-2
L28	REPLAC? THERAP?)
L29	29 SEA ABB=ON PLU=ON L28 NOT (PY=>2000 OR PD=>20001212) D KWIC D KWIC 2-3
L30	35 SEA ABB=ON PLU=ON L27(S)(ORAL(3A)CONTRACEPT? OR HRT OR HORMON? REPLAC? THERAP?) DEL 0 S L30 AND SCHULTZ ?/AU
	D KWIC D KWIC 2-3
L31	HORMON? REPLAC? THERAP?)
L32	3 SEA ABB=ON PLU=ON L31 AND (("NON" OR "NOT")(3A)(CRYSTAL? OR CRYST##) OR DISSOLV? OR DISSOLUTION OR DISSOL##) 0 SEA ABB=ON PLU=ON L32 NOT (L12 OR L18 OR L24) D QUE L32
L34 L35	FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 15:57:04 ON 11 APR 2006 4 SEA ABB=ON PLU=ON L32 0 SEA ABB=ON PLU=ON L34 NOT (L13 OR L21 OR L26)

L36	FILE 'CAPLUS' ENTERED AT 15:59:00 ON 11 APR 2006 11 SEA ABB=ON PLU=ON L5 AND ADMIX?
200	D KWIC
L37	
L38	FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 15:59:54 ON 11 APR 2006 8 SEA ABB=ON PLU=ON L36
L39	
L40	
	D 1-8 IBIB ABS
	FILE 'HOME' ENTERED AT 16:00:39 ON 11 APR 2006
	FILE 'MEDLINE' ENTERED AT 16:01:00 ON 11 APR 2006
	E PROGESTIN/CT 5
- 47	E PROGESTINS/CT 5
L41	6656 SEA ABB=ON PLU=ON PROGESTINS/CT
T 40	E ESTROGENS/CT 5 38088 SEA ABB=ON PLU=ON ESTROGENS/CT
L42	E "CONTRACEPTIVES, ORAL"/CT 5
T.43	16770 SEA ABB=ON PLU=ON "CONTRACEPTIVES, ORAL"/CT
П4Э	E HORMONE REPLACEMENT THERAPY/CT
L44	3736 SEA ABB=ON PLU=ON "HORMONE REPLACEMENT THERAPY"/CT
	2519 SEA ABB=ON PLU=ON (L41 OR L42) AND (L43 OR L44)
	E EXCIPIENTS/CT 5
L46	3657 SEA ABB=ON PLU=ON EXCIPIENTS/CT
L47	O SEA ABB=ON PLU=ON L45 AND L46
L48	· · · · · · · · · · · · · · · · · · ·
	D QUE L47
	D QUE L48
	D L48 1-3 .BEVERLYMED
	FILE 'HOME' ENTERED AT 16:03:41 ON 11 APR 2006

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4 DICTIONARY FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

* The CA roles and document type information have been removed from *

* the IDE default display format and the ED field has been added, *

* effective March 20, 2005. A new display format, IDERL, is now *

* available and contains the CA role and document type information. *

Structure search iteration limits have been increased. See HELP SLIMI for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAPLUS

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FILE COVERS 1907 - 11 Apr 2006 VOL 144 ISS 16 FILE LAST UPDATED: 10 Apr 2006 (20060410/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply They are available for your review at:

http://www.cas.org/infopolicy.html

FILE MEDLINE

FILE LAST UPDATED: 8 APR 2006 (20060408/UP). FILE COVERS 1950 TO DAT

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>). See also:

http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd04/nd04 mesh.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.ht

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 2006 MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 5 April 2006 (20060405/ED)

FILE EMBASE

FILE COVERS 1974 TO 11 Apr 2006 (20060411/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE WPIDS

FILE LAST UPDATED: 10 APR 2006 <20060410/UP>
MOST RECENT DERWENT UPDATE: 200624 <200624/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.de/training center/patents/stn guide.pdf

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomson.com/support/patents/coverage/latestupdates/

>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE http://www.stn-international.de/stndatabases/details/ipc_reform.html a http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf <<<

>>> UPCOMING NEW DWPI: EFFECTS ON SCRIPT RUNS - SEE NEWS MESSAGE <<<

FILE CONFSCI

FILE COVERS 1973 TO 10 Apr 2006 (20060410/ED)

CSA has suspended updates until further notice.

FILE SCISEARCH

FILE COVERS 1974 TO 7 Apr 2006 (20060407/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE JICST-EPLUS

FILE COVERS 1985 TO 11 APR 2006 (20060411/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO

FILE LAST UPDATED: 3 APR 2006 <20060403/UP>
FILE COVERS APRIL 1973 TO DECEMBER 22, 2005

>>> GRAPHIC IMAGES AVAILABLE <<<

>>> NEW IPC8 DATA AND FUNCTIONALITY NOT YET AVAILABLE IN THIS FILE.

USE IPC7 FORMAT FOR SEARCHING THE IPC. WATCH THIS SPACE FOR FURTHE

DEVELOPMENTS AND SEE OUR NEWS SECTION FOR FURTHER INFORMATION

ABOUT THE IPC REFORM <<<